STN - STRUCTURE SEARCH 5.3.04

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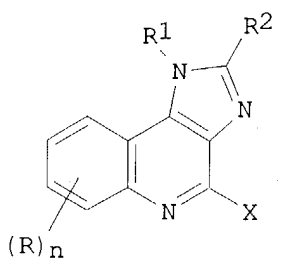
L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:101163 CAPLUS
DOCUMENT NUMBER: 140:146141
TITLE: Preparation of 1H-imidazo[4,5-c]quinoline-4-amines via novel 1H-imidazo[4,5-c]quinoline-4-carbonitrile and 1H-imidazo[4,5-c]quinoline-4-carboxamide intermediates
INVENTOR(S): Valeriano, Merli; Daverio, Paola; Bianchi, Stefano
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011462	A1	20040205	WO 2003-US23543	20030728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004063743	A1	20040401	US 2003-628927	20030728

PRIORITY APPLN. INFO.: US 2002-398592P P 20020726
OTHER SOURCE(S): MARPAT 140:146141
GI



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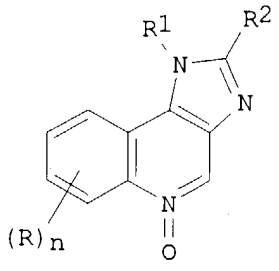


III

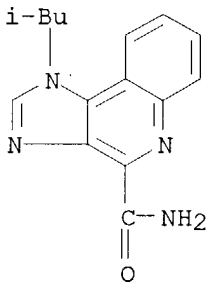
AB The invention relates to a process for the synthesis of 1H-imidazo[4,5-c]quinoline-4-carbonitriles [I; X = cyano; R1 = H, each (un)substituted straight or branched chain C1-10 alkyl or C2-10 alkenyl, C1-6 hydroxyalkyl, C2-4 alkanoyloxy-C1-6 alkyl, benzoyloxy-C1-6 alkyl, benzyl or phenylethyl optionally substituted on the Ph ring; R2 = H, straight or branched chain C1-8 alkyl, benzyl or phenylethyl optionally substituted on the Ph ring; R = C1-4 alkoxy or alkyl, halo; n = an integer of 0-2] and 1H-imidazo[4,5-c]quinoline-4-carboxamides I (X = CONH2; R-R2 = same as above) which are intermediates useful in preparing 1H-imidazo[4,5-c]quinoline-4-amines I (X = NH2; R-R2 = same as above). Disclosed is a process for preparing 1H-imidazo[4,5-c]quinoline-4-amines I (X

= NH2; R-R2 = same as above) by cyanation of 1H-imidazo[4,5-c]quinoline 5-oxides (II; R-R2 = same as above) with alkali metal cyanide, treatment of the resulting 1H-imidazo[4,5-c]quinoline-4-carbonitriles I (X = cyano; R-R2 = same as above) with an aqueous solution of a strong acid and A Hofmann rearrangement or degradation of the resulting 1H-imidazo[4,5-c]quinoline-4-carboxamide I (X = CONH2; R-R2 = same as above). More particularly, the invention relates to a process for the preparation of 1-isobutyl-1H-imidazo[4,5-c]quinoline-4-amine (Imiquimod) using two intermediates, 1-isobutyl-1H-imidazo[4,5-c]quinoline-4-carbonitrile and 1-isobutyl-1H-imidazo[4,5-c]quinoline 4-carboxamide, and to the said intermediates.

IT **652976-45-9P**, 1-Isobutyl-1H-imidazo[4,5-c]quinoline-4-carboxamide hydrochloride
RL: **RCT (Reactant)**; SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-imidazo[c]quinolineamines by cyanation of 1H-imidazo[c]quinoline N-oxides, acid hydrolysis of 1H-imidazo[c]quinolinecarbonitriles, and Hofmann rearrangement of 1H-imidazo[c]quinolinecarboxamides)

RN 652976-45-9 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline-4-carboxamide, 1-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

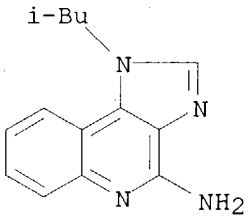


● HCl

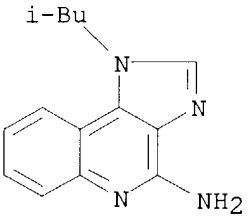
IT **99011-02-6P**, Imiquimod **99011-78-6P**, Imiquimod hydrochloride
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of 1H-imidazo[c]quinolineamines by cyanation of 1H-imidazo[c]quinoline N-oxides, acid hydrolysis of 1H-imidazo[c]quinolinecarbonitriles, and Hofmann rearrangement of 1H-imidazo[c]quinolinecarboxamides)

RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 99011-78-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 09:21:55 ON 03 MAY 2004
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L2 50 S L1
L3 1778 S L1 FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 1 S L4 FULL

FILE 'CAPLUS' ENTERED AT 09:24:51 ON 03 MAY 2004
L7 49 S L3/PREP
L8 1 S L6/RCT
L9 1 S L7 AND L8

=> d ibib abs hitstr l7 1-49

L7 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:291951 CAPLUS
TITLE: Preparation of imidazo[4,5-c]quinoline dimers as immune response modifiers
INVENTOR(S): Griesgraber, George W.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028539	A2	20040408	WO 2003-US30372	20030925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-413848P P 20020926

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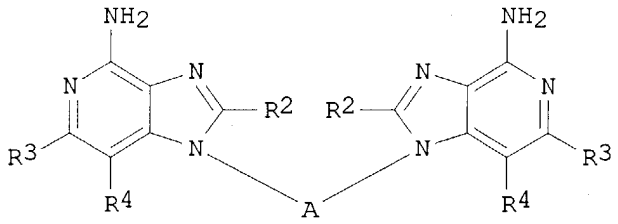

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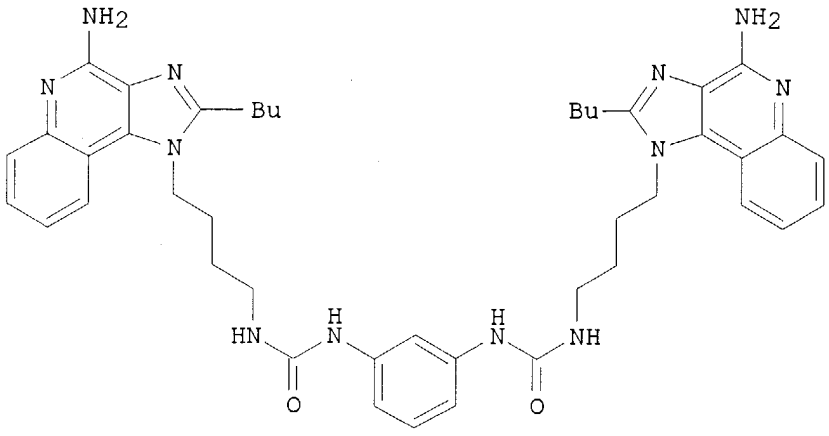
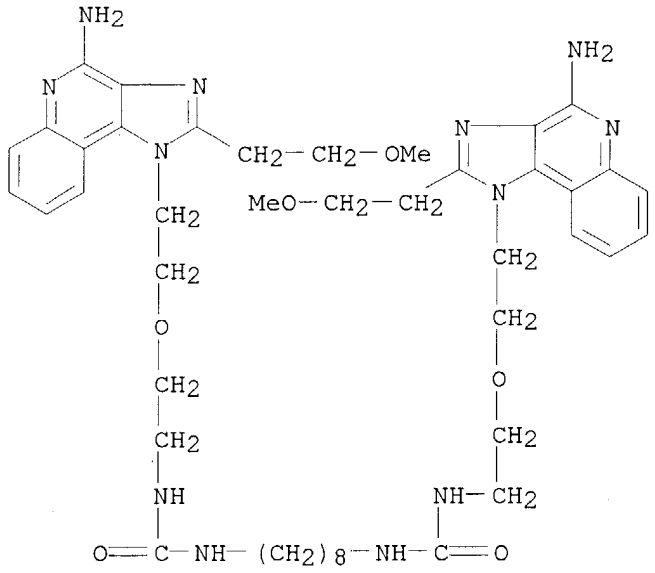
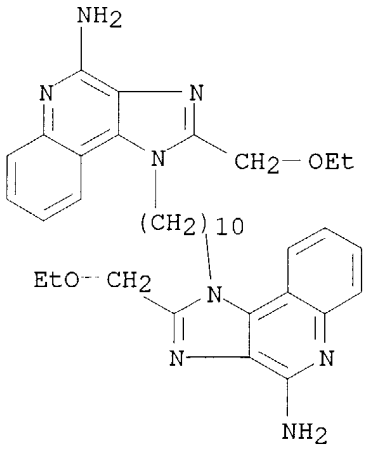


II

AB Title compds. I [wherein R2 = H, (un)substituted alkyl, alkenyl, (hetero)aryl, etc.; R3, R4 = independently H, halo, alkyloxy, alkenyl, alkylthio, amino, or R3R4 = (un)substituted (hetero)aryl ring; A = alkylene, alkenylene, alkynylene, etc.; and pharmaceutically acceptable salts thereof], and analogs (4 addnl. Markush structures), were prepared as immune response modifiers. For example, reaction of 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine with 1,3-phenylene diisocyanate in CH2Cl2 under N2 at r.t., gave II as a white solid. II stimulated



RN 677354-12-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L7 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:101163 CAPLUS
DOCUMENT NUMBER: 140:146141
TITLE: Preparation of 1H-imidazo[4,5-c]quinoline-4-amines via novel 1H-imidazo[4,5-c]quinoline-4-carbonitrile and 1H-imidazo[4,5-c]quinoline-4-carboxamide intermediates
INVENTOR(S): Valeriano, Merli; Daverio, Paola; Bianchi, Stefano
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011462	A1	20040205	WO 2003-US23543	20030728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004063743	A1	20040401	US 2003-628927	20030728

PRIORITY APPLN. INFO.: US 2002-398592P P 20020726

OTHER SOURCE(S): MARPAT 140:146141

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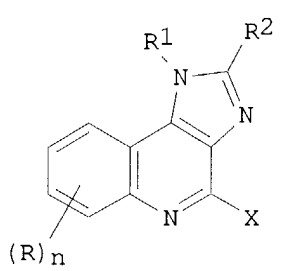

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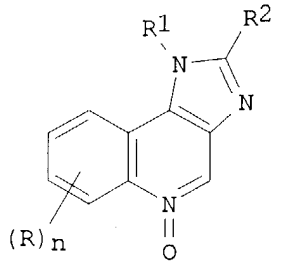


III

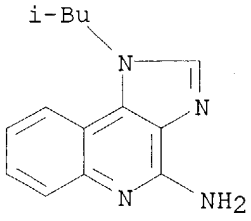
AB The invention relates to a process for the synthesis of 1H-imidazo[4,5-c]quinoline-4-carbonitriles [I; X = cyano; R1 = H, each (un)substituted straight or branched chain C1-10 alkyl or C2-10 alkenyl, C1-6 hydroxyalkyl, C2-4 alkanoyloxy-C1-6 alkyl, benzoyloxy-C1-6 alkyl, benzyl or phenylethyl optionally substituted on the Ph ring; R2 = H, straight or branched chain C1-8 alkyl, benzyl or phenylethyl optionally substituted on the Ph ring; R = C1-4 alkoxy or alkyl, halo; n = an integer of 0-2] and 1H-imidazo[4,5-c]quinoline-4-carboxamides I (X = CONH2; R-R2 = same as above) which are intermediates useful in preparing 1H-imidazo[4,5-c]quinoline-4-amines I (X = NH2; R-R2 = same as above). Disclosed is a process for preparing 1H-imidazo[4,5-c]quinoline-4-amines I (X = NH2; R-R2 = same as above) by cyanation of 1H-imidazo[4,5-c]quinoline 5-oxides (II; R-R2 = same as above) with alkali metal cyanide, treatment of the resulting 1H-imidazo[4,5-c]quinoline-4-carbonitriles I (X = cyano; R-R2 = same as above) with an aqueous solution of a strong acid and A Hofmann rearrangement or degradation of the resulting 1H-imidazo[4,5-c]quinoline-4-carboxamide I (X = CONH2; R-R2 = same as above). More particularly, the invention relates to a process for the preparation of 1-isobutyl-1H-imidazo[4,5-c]quinoline-4-amine (Imiquimod) using two intermediates, 1-isobutyl-1H-imidazo[4,5-c]quinoline-4-carbonitrile and 1-isobutyl-1H-imidazo[4,5-c]quinoline 4-carboxamide, and to the said intermediates.

IT **99011-02-6P**, Imiquimod **99011-78-6P**, Imiquimod hydrochloride
RL: SPN (Synthetic preparation); **PREP (Preparation)**

(preparation of 1H-imidazo[c]quinolineamines by cyanation of 1H-imidazo[c]quinoline N-oxides, acid hydrolysis of 1H-imidazo[c]quinolinecarbonitriles, and Hofmann rearrangement of 1H-imidazo[c]quinolinecarboxamides)

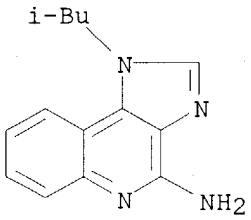
RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 99011-78-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:80690 CAPLUS

DOCUMENT NUMBER: 140:111416

TITLE: Preparation of 1H-imidazo[4,5-c]quinolin-4-amines via 1H-imidazo[4,5-c]quinolin-4-phthalimide intermediates

INVENTOR(S): Valeriano, Merli; Daverio, Paola; Bianchi, Stefano

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009593	A1	20040129	WO 2003-US23153	20030723

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-397607P P 20020723

OTHER SOURCE(S): CASREACT 140:111416; MARPAT 140:111416

AB The invention provides 1H-imidazo[4,5-C]quinolin-4-phthalimide intermediates useful in the synthesis of 1H-imidazo[4,5-C] quinoline-4-amines, particularly imiquimod. The invention further provides a method for making the intermediates and a method for making 1H-imidazo[4,5-C]quinoline-4-amines via the intermediates.

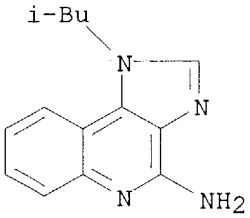
IT **99011-02-6P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); **PREP (Preparation)**

(preparation of imidazoquinolinamines via phthalimidoimidazoquinoline derivs.)

RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:33981 CAPLUS

DOCUMENT NUMBER: 140:94043

TITLE: Preparation of imidazoquinolinesulfonamides as inducers of cytokine biosynthesis.

INVENTOR(S): Griesgraber, George W.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: U.S., 86 pp., Cont. of U.S. Ser. No. 27,273, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6677349	B1	20040113	US 2003-425054	20030428

PRIORITY APPLN. INFO.: US 2001-27273 B1 20011221

OTHER SOURCE(S): MARPAT 140:94043

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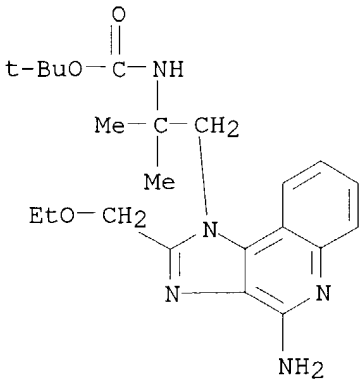
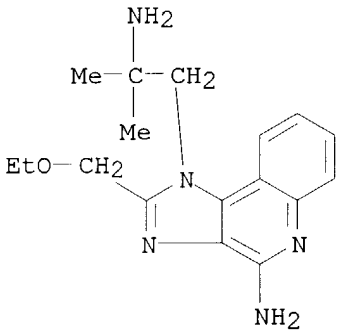


RN 642473-95-8 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanamine, 4-amino-2-(ethoxymethyl)-α,α-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:931362 CAPLUS
DOCUMENT NUMBER: 140:5048
TITLE: Preparation of 1H-imidazo[4,5-c]quinolines in the treatment of protein kinase dependent diseases
INVENTOR(S): Garcia-Echeverria, Carlos; Capraro, Hans-Georg; Furet, Pascal
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097641	A2	20031127	WO 2003-EP5291	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC,

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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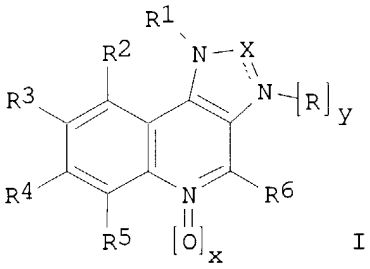
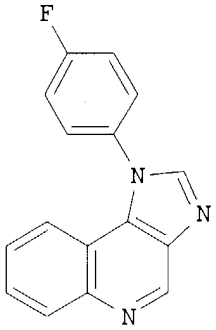
PRIORITY APPLN. INFO.: GB 2002-11649 A 20020521
OTHER SOURCE(S): MARPAT 140:5048
GI



I



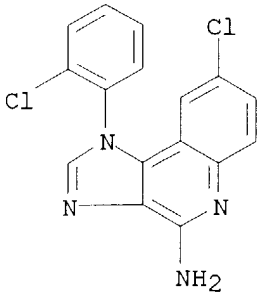
II

AB The title compds. [I; x, y = 0-1; R1 = an organic moiety that can be bound to N atom; X = CO, CS, CR7 (wherein R7 = H, an organic or inorg. moiety); R2-R6 = an organic moiety, H, and inorg. moiety; R = H, O, an organic moiety that can be bound to N] and their pharmaceutically acceptable salts, useful in the treatment of protein kinase dependent diseases and for the manufacture of pharmaceutical prepns. for the treatment of said diseases, were prepared and formulated. Thus, refluxing N4-(4-fluorophenyl)quinoline-3,4-diamine with tri-Et orthoformate afforded II. The compds. I were found to show IC50 values for c-Met inhibition in the range from 0.001 to 20 μM, preferably in the range from 0.01 to 2 μM.

IT **628283-38-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation);** USES (Uses)
(preparation of 1H-imidazo[4,5-c]quinolines in the treatment of protein kinase dependent diseases)

RN 628283-38-5 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 8-chloro-1-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

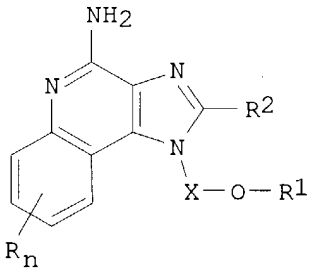


L7 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:892446 CAPLUS
DOCUMENT NUMBER: 139:364934
TITLE: Preparation of aryl ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Heppner, Philip D.; Charles, Leslie J.; Dellaria, Joseph F.; Merrill, Bryon A.; Mickelson, John W.
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 97 pp., Cont.-in-part of U.S. Ser. No. 13,202.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003212092	A1	20031113	US 2002-165750	20020607
US 6677348	B2	20040113		
US 2003212091	A1	20031113	US 2001-13202	20011206
US 6670372	B2	20031230		
US 2004072858	A1	20040415	US 2003-675833	20030930

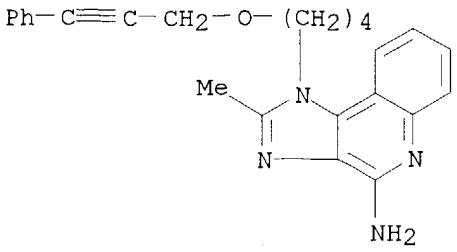
PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-13202 A2 20011206
US 2001-11921 A1 20011206
OTHER SOURCE(S): MARPAT 139:364934
GI



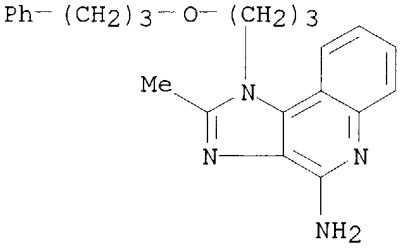
I

AB The title compds. [I; X = (CH2)2, CHEtCH2, etc.; R1 = alkenyl, aryl, R4-aryl; R2 = H, alkyl, alkenyl, etc.; R4 = alkyl, alkenyl which may be interrupted by one or more O atoms; R3 = H, alkyl; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain ether and aryl or alkenyl functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of I [X = (CH2)2; R1 = CH2C.tplbond.CH; R2 = H; n = 0] which showed the lowest effective concentration of 0.12 μM and 1.11 μM to induce biosynthesis of interferon α and TNFα in human cells, resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases. The pharmaceutical composition comprising the compound I is claimed.

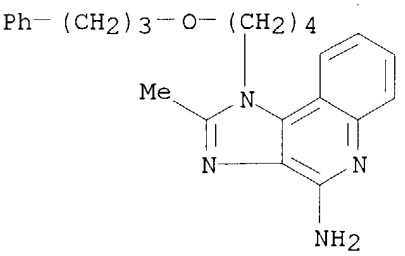
IT **436157-68-5P 437602-85-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of aryl ether substituted imidazoquinolines as immune response modifiers)
RN 436157-68-5 CAPLUS



IT **622853-62-7P 622853-64-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of protein kinase modulators)
RN 622853-62-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-methyl-1-[3-(3-phenylpropoxy)propyl]- (9CI) (CA INDEX NAME)



RN 622853-64-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-methyl-1-[4-(3-phenylpropoxy)butyl]- (9CI) (CA INDEX NAME)



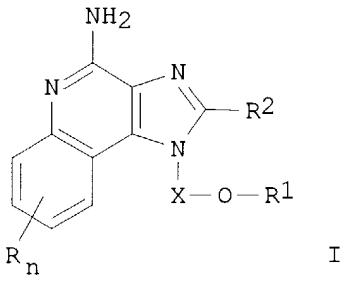
L7 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777397 CAPLUS
DOCUMENT NUMBER: 139:292250
TITLE: Preparation of amido ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 11,670.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003187016	A1	20031002	US 2002-165449	20020607
US 6664265	B2	20031216		
US 2003096835	A1	20030522	US 2001-11670	20011206
US 6660747	B2	20031209		
US 2004072858	A1	20040415	US 2003-675833	20030930
US 2004067975	A1	20040408	US 2003-681711	20031007

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11670 A2 20011206
US 2001-11921 A1 20011206
US 2002-165449 A1 20020607

OTHER SOURCE(S): MARPAT 139:292250
GI



I

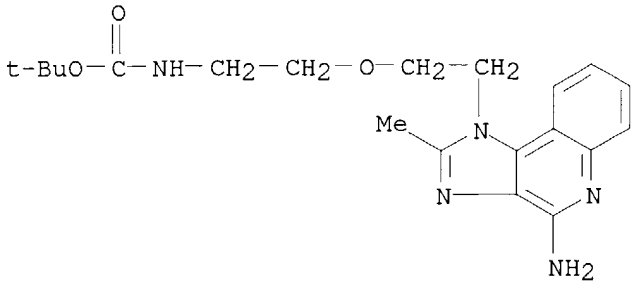
AB The title compds. [I; X = (CH2)2, CH(Et)CH2, etc.; R1 = (CH2)4CONMePh, (CH2)2NHCO(cyclohexyl), (CH2)2NHCO(1-naphthyl), etc.; R2 = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, OH, halo, CF3; n = 0-4] and their pharmaceutically acceptable salts that contain ether and amide functionality at the 1-position, and are useful as immune response modifiers, were prepared Thus, reacting 2-(1H-imidazo[4,5-c]quinolin-1-yl)ethanol with 5-bromo-N-methyl-N-phenylpentamide followed by treatment of the resulting N-oxide with trichloroacetyl isocyanate in CH2Cl2, and then treating the intermediate with NaOMe in MeOH afforded I [X = (CH2)2; R1 = (CH2)4CONMePh; R2 = H; n = 0] which showed interferon α induction in human cells at 3.33 μM. The compds. I and compns. comprising I can induce the biosynthesis of various cytokines, and are useful in the treatment of a variety of conditions, including viral diseases and neoplastic diseases.

IT **436855-79-7P 436855-86-6P 557787-48-1P 557787-49-2P 565454-66-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of amido ether substituted imidazoquinolines as immune response modifiers)

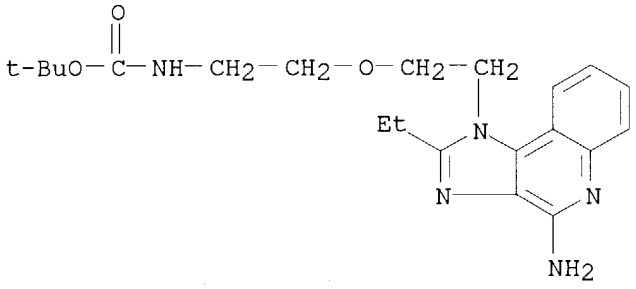
RN 436855-79-7 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

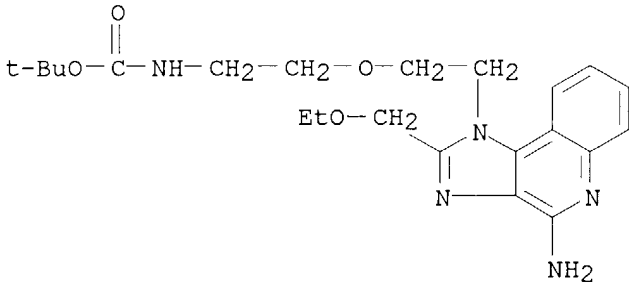
yl)ethoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 557787-44-7 CAPLUS
CN Carbamic acid, [2-[2-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 557787-47-0 CAPLUS
CN Carbamic acid, [2-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:590833 CAPLUS
DOCUMENT NUMBER: 139:149629
TITLE: Preparation of amidoimidazo[4,5-c]quinolines as immune response modifiers
INVENTOR(S): Coleman, Patrick L.; Crooks, Stephen L.; Griesgraber, George W.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,451,810.

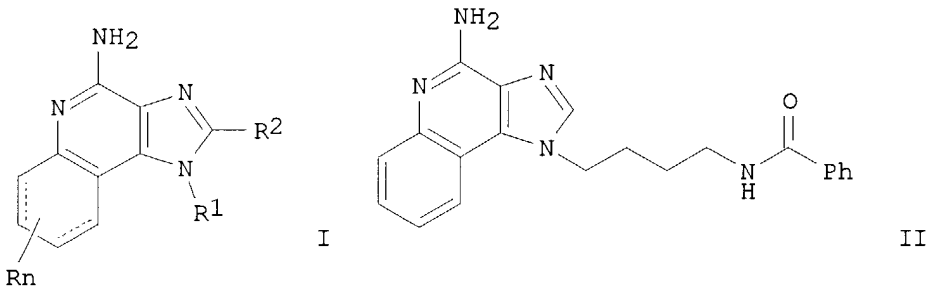
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144283	A1	20030731	US 2001-27218	20011221
US 6451810	B1	20020917	US 2000-589580	20000607
ZA 2001009854	A	20030228	ZA 2001-9854	20011129
ZA 2001009857	A	20030228	ZA 2001-9857	20011129
ZA 2001009861	A	20030228	ZA 2001-9861	20011129
US 2004029877	A1	20040212	US 2001-27272	20011221

PRIORITY APPLN. INFO.: US 1999-138365P P 19990610
US 2000-589580 A2 20000607
US 2000-589216 A1 20000607
US 2001-166321 A1 20010615

OTHER SOURCE(S): MARPAT 139:149629

GI



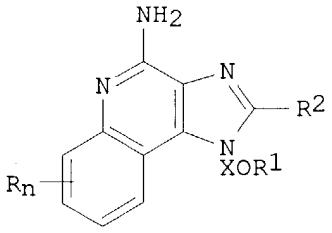
AB Title compds. I [wherein R1 = alkyl-NR3COR4; R3 = independently H, alkyl or (un)substituted alkyl(hetero)aryl; R4 = alkyl or (un)substituted (hetero)aryl; R2 = H, alkenyl, (un)substituted alkyl or (hetero)aryl, etc.; R = independently alkyl, alkoxy, halo, CF3; n = 0-4; and their pharmaceutically acceptable salts] were prepared as immune response modifiers. For example, II was prepared by acylation of 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine. II induced interferon α and TNFα at concns. of 0.37 μM and 10 μM, resp., in human cells. Thus, I and their pharmaceutical compns. are useful for the treatment of a variety of conditions including viral diseases and neoplastic diseases (no data).

IT **313347-37-4P 313347-38-5P 313347-39-6P**
313347-41-0P 313347-43-2P 313347-44-3P
313347-45-4P 313347-46-5P 313347-47-6P
313347-48-7P 313347-49-8P 313347-50-1P
313347-51-2P 313347-52-3P 313347-53-4P
313347-54-5P 313347-55-6P 313347-56-7P
313347-57-8P 313347-58-9P 313347-59-0P
313347-60-3P 313347-61-4P 313347-62-5P
313347-63-6P 313347-64-7P 313347-65-8P
313347-66-9P 313347-68-1P 313347-69-2P
313347-70-5P 313347-71-6P 313347-72-7P
313347-73-8P 313347-74-9P 313347-75-0P
313347-76-1P 313347-77-2P 313347-78-3P
313347-79-4P 313347-80-7P 313347-81-8P
313347-82-9P 313347-83-0P 313347-84-1P

L7 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:570648 CAPLUS
DOCUMENT NUMBER: 139:133563
TITLE: Preparation of sulfonamidoalkoxyalkylimidazoquinolines as immune response modulators.
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.; Roberts, Ralph R.; Wei, Ai-Ping
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 12,599.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003139441	A1	20030724	US 2002-165443	20020607
US 6677347	B2	20040113		
US 2002193396	A1	20021219	US 2001-12599	20011201
US 6683088	B2	20040127		
US 2004072858	A1	20040415	US 2003-675833	20030930

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-12599 A2 20011201
US 2001-11921 A1 20011206
OTHER SOURCE(S): MARPAT 139:133563
GI



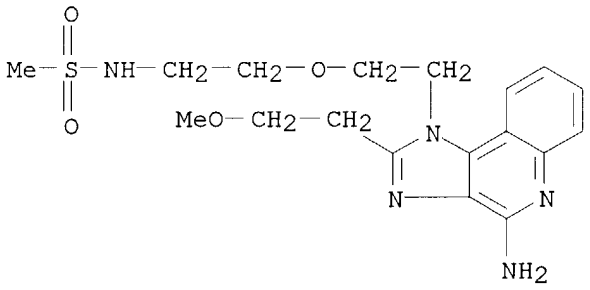
I

AB Title compds. [I; X = CHR5, CHR5, CHR5, R1 = R4NR3SO2R6A, R4NR3SOR7, R4NR3SO2NR5R6A, R4NR3SO2NH2; A = alkyl, alkenyl, aryl, heteroaryl, heterocyclyl; R2 = H, (substituted) alkyl, alkenyl, aryl, heteroaryl, heterocyclyl, alkyl-Y-alkyl, alkyl-Y-alkenyl, alkyl-Y-aryl; Y = O, S(O)0-2; R3 = H, alkyl, arylalkyl; R4 = alkyl, alkenyl, which may be interrupted by ≥1 O; R3R4 form a ring; R5 = H, alkyl, alkenyl; R6 = bond, alkyl, alkenyl, which may be interrupted by ≥1 O; R7 = alkyl; R3R7 form a ring; n = 0-4; R = alkyl, alkoxy, OH, halo, CF3], were prepared Thus, tert-Bu 2-[2-[(3-aminoquinolin-4-yl)amino]ethoxy]ethylcarbamate (preparation given) in CH2Cl2 was cooled to 0° and treated with Et3N and methoxypropionyl chloride; The reaction was then warmed to room temperature and stirring was continued for 1 h to give tert-Bu 2-[2-[2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethylcarbamate. This was converted to N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]methanesulfonamide in several steps. I showed interferon

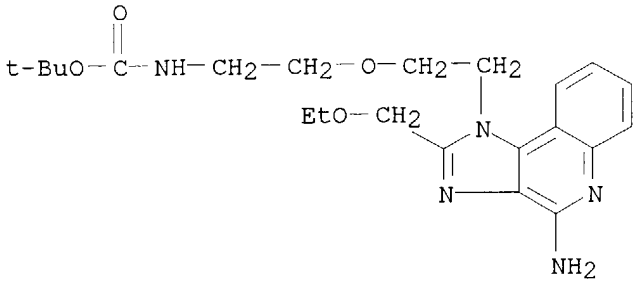
induction in human cells with lowest effective concns. of 0.0001-1 μM.

IT **437382-50-8P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]methanesulfonamide **437382-52-0P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methylmethanesulfonamide **437382-54-2P**, 2-Butyl-1-[2-[2-(1,1-dioxidoisothiazolidin-2-yl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine **437382-55-3P 437382-56-4P**
437382-57-5P 437382-58-6P 437382-59-7P
437382-60-0P 437382-61-1P 437382-62-2P
437382-63-3P 437382-64-4P 437382-65-5P
437382-66-6P 437382-67-7P 437382-68-8P
437382-69-9P 437382-70-2P 437382-71-3P
437382-72-4P 437382-73-5P 437382-74-6P
437382-75-7P 437382-76-8P 437382-77-9P
437382-78-0P 437382-79-1P 437382-80-4P
437382-81-5P 437382-82-6P 437382-83-7P
437382-84-8P 437382-85-9P 437382-86-0P
437382-87-1P 437382-88-2P 437382-89-3P
437382-90-6P 437382-91-7P 437382-92-8P
437382-93-9P 437382-94-0P 437382-95-1P
437382-96-2P 437382-97-3P 437382-98-4P
565454-54-8P 565454-55-9P 565454-56-0P
565454-57-1P 565454-58-2P 565454-59-3P
565454-60-6P 565454-61-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of sulfonamidoalkoxyalkylimidazoquinolines as immune response modulators)

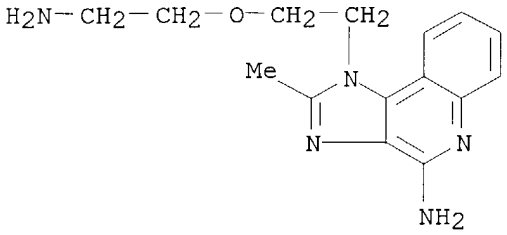
RN 437382-50-8 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)



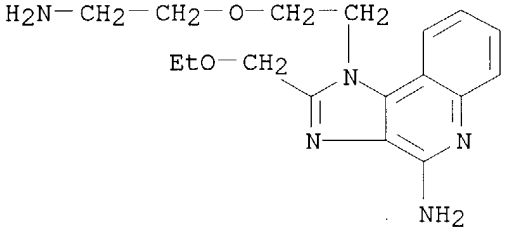
RN 437382-52-0 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



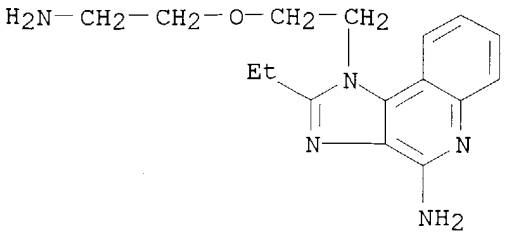
RN 557787-48-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 557787-49-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-(ethoxymethyl)- (9CI) (CA INDEX NAME)



RN 565454-66-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-ethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:563288 CAPLUS

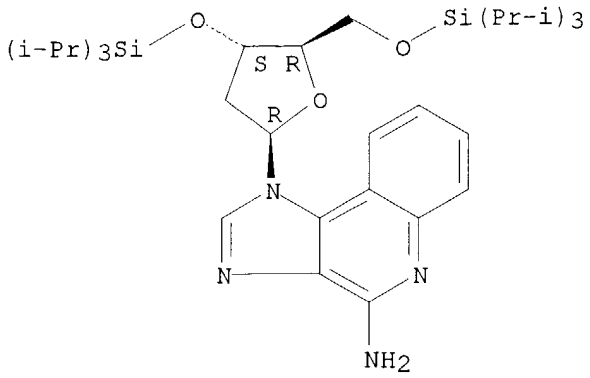
DOCUMENT NUMBER: 139:307967
TITLE: New Base Pairing Motifs. The Synthesis and Thermal Stability of Oligodeoxynucleotides Containing Imidazopyridopyrimidine Nucleosides with the Ability to Form Four Hydrogen Bonds
AUTHOR(S): Minakawa, Noriaki; Kojima, Naoshi; Hikishima, Sadao; Sasaki, Takashi; Kiyosue, Arihiro; Atsumi, Naoko; Ueno, Yoshihito; Matsuda, Akira
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo, 060-0812, Japan
SOURCE: Journal of the American Chemical Society (2003), 125(33), 9970-9982
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis and thermal stability of oligodeoxynucleotides (ODNs) containing imidazo[5',4':4,5]pyrido[2,3-d]pyrimidine nucleosides 1-4 (NN, OO, NO, and ON, resp.) with the aim of developing two sets of new base pairing motifs consisting of four hydrogen bonds (H-bonds) is described. The proposed four tricyclic nucleosides were synthesized through the Stille coupling reaction of a 5-iodoimidazole nucleoside with an appropriate 5-stannylpyrimidine derivative, followed by an intramol. cyclization. These nucleosides were incorporated into ODNs to investigate the H-bonding ability. When one mol. of the tricyclic nucleosides was incorporated into the center of each 17mer ODNs, no apparent specificity of base pairing was observed, and all duplexes were less stable than the duplexes containing natural G:C and A:T pairs. On the other hand, when three mols. of the tricyclic nucleosides were consecutively incorporated into the center of each 17mer ODNs, thermal and thermodn. stabilization of the duplexes due to the specific base pairings was observed The melting temperature (Tm) of the duplex containing the NO:ON pairs showed the highest Tm of 84.0 °C, which was 18.2 and 23.5 °C higher than that of the duplexes containing G:C and A:T pairs, resp. This result implies that NO and ON form base pairs with four H-bonds when they are incorporated into ODNs. The duplex containing NO:ON pairs was markedly stabilized by the assistance of the stacking ability of the imidazopyridopyrimidine bases. Thus, we developed a thermally stable new base pairing motif, which should be useful for the stabilization and regulation of a variety of DNA structures.

IT **597551-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(synthesis and thermal stability of oligodeoxyribonucleotides containing imidazopyridopyrimidine nucleosides with ability to form four hydrogen bonds)

RN 597551-74-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-deoxy-3,5-bis-O-[tris(1-methylethyl)silyl]-β-D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



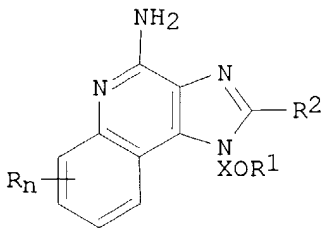
REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:532388 CAPLUS
DOCUMENT NUMBER: 139:101126
TITLE: Preparation of 4-amino-1-(ureidoethoxyethyl)imidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease.
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 13,060.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003130518	A1	20030710	US 2002-164816	20020607
US 6660735	B2	20031209		
US 2003158192	A1	20030821	US 2001-13060	20011206
US 6656938	B2	20031202		
US 2004072858	A1	20040415	US 2003-675833	20030930
US 2004072859	A1	20040415	US 2003-681814	20031007
US 2004077678	A1	20040422	US 2003-680989	20031007

PRIORITY APPLN. INFO.:
US 2000-254218P P 20001208
US 2001-13060 A2 20011206
US 2001-11921 A1 20011206
US 2002-164816 A1 20020607

OTHER SOURCE(S): MARPAT 139:101126
GI



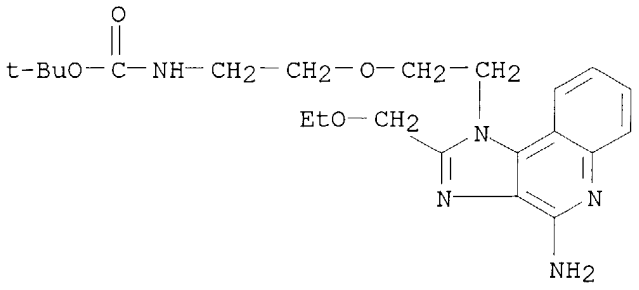
I

AB Title compds. [I; X = CHR5, CHR5A; A = alkylene, alkenylene; R1 = R4NR8CR3NR5ZR6A1, R4NR8CR3NR5R7, R4NR8CR3NR9ZR6A1; A1 = alkyl, alkenyl, aryl, heteroaryl, heterocyclyl; R2 = H, alkyl, alkenyl, aryl, heteroaryl, heterocyclyl, alkyl-Y-alkyl, alkyl-Y-alkenyl, alkyl-Y-aryl, alkyl, alkenyl substituted by ≥1 of: OH, halo, N(R5)2, CON(R5)2, CO-C1-10 alkyl, CO2-C1-10 alkyl, N3, aryl, heteroaryl, heterocyclyl, CO-aryl, CO-heteroaryl; R3 = O, S; R4 = alkyl, alkenyl, which may be interrupted by ≥1 O; R5 = H, C1-10 alkyl; R6 = bond, alkyl, alkenyl, which may be interrupted by ≥1 O; R7 = H, C1-10 alkyl which may be interrupted by a heteroatom; R7R5 = atoms to form a ring; R8 = H, C1-10 alkyl, arylalkyl; R4R8 = atoms to form a ring; R9 = C1-10 alkyl which can join together with R8 to form a ring; Y = O, S, SO, SO2; Z = bond, CO, SO2; n = 0-4; R = C1-10 alkyl, C1-10 alkoxy, OH, halo, CF3], were prepared Thus, title compound I (R1 = morpholinocarbonylaminoethyl; X = CH2CH2; R2 = Bu; R = null) (general preparation given) induced interferon and tumor necrosis factor in human cells at lowest effective concns. of 0.0001 μM and 0.1 μM, resp.

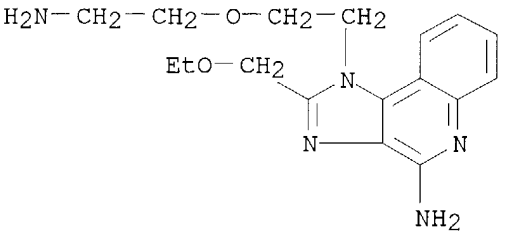
IT **437383-04-5P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N'-phenylurea **437383-06-7P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl-N'-phenylurea **437383-08-9P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]morpholine-4-carboxamide **437383-09-0P**, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methylmorpholine-4-carboxamide **437383-10-3P** **437383-11-4P** **437383-12-5P** **437383-13-6P** **437383-14-7P** **437383-15-8P** **437383-16-9P** **437383-17-0P** **437383-18-1P** **437383-19-2P** **437383-20-5P** **437383-21-6P** **437383-22-7P** **437383-23-8P** **437383-24-9P** **437383-25-0P** **437383-26-1P** **437383-27-2P** **437383-28-3P** **437383-29-4P** **437383-30-7P** **437383-31-8P** **437383-32-9P** **437383-33-0P** **437383-34-1P** **437383-35-2P** **437383-36-3P** **437383-37-4P** **437383-38-5P** **437383-39-6P** **437383-40-9P** **437383-41-0P** **437383-42-1P** **437383-43-2P** **437383-44-3P** **437383-45-4P** **437383-46-5P** **437383-47-6P** **557787-30-1P** **557787-31-2P** **557787-32-3P** **557787-33-4P** **557787-34-5P** **557787-35-6P** **557787-36-7P** **557787-37-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of aminoureidoethoxyethylimidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease)

RN 437383-04-5 CAPLUS

CN Urea, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)



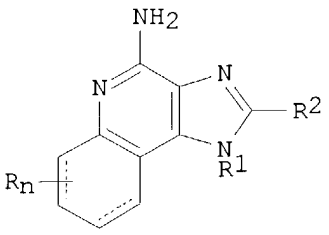
RN 557787-49-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-(ethoxymethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:429098 CAPLUS
DOCUMENT NUMBER: 139:6873
TITLE: Preparation of imidazoquinolinamines as immune response modifiers.
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: U.S., 66 pp., Cont.-in-part of U.S. 6,541,485.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6573273	B1	20030603	US 2001-28255	20011221
US 6541485	B1	20030401	US 2000-589236	20000607
ZA 2001009854	A	20030228	ZA 2001-9854	20011129
ZA 2001009857	A	20030228	ZA 2001-9857	20011129
ZA 2001009861	A	20030228	ZA 2001-9861	20011129
US 2004029877	A1	20040212	US 2001-27272	20011221
US 2004014754	A1	20040122	US 2003-352604	20030128
US 2004019048	A1	20040129	US 2003-370800	20030220

PRIORITY APPLN. INFO.: US 1999-138365P P 19990610
US 2000-589236 A2 20000607
US 2000-589216 A1 20000607
US 2001-166321 A1 20010615
US 2001-28255 A1 20011221
OTHER SOURCE(S): MARPAT 139:6873
GI



I

AB Title compds. [I; R1 = ANR3CYNR5XR4; A = alkylene, alkenylene; Y = O, S; X = bond, CO, SO2; R3 = H, alkyl; R4 = (substituted) aryl, heteroaryl, alkyl, etc.; R5 = H, alkyl; R4R5 = atoms to form 3-7 membered (un)substituted heterocyclic ring; R2 = H, alkyl,aryl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4], were prepared Thus, reaction of 4-morpholinecarbonyl chloride with 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine in pyridine afforded N4-[4-[4-amino-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-4-morpholinecarboxamide which induced interferon-α biosynthesis in human cells at a lowest concentration of 3.33 μM.

IT **210303-99-4P 313350-16-2P 313350-26-4P**
313382-67-1P 313382-68-2P 313382-69-3P
313382-70-6P 313382-71-7P 313382-72-8P
313382-73-9P 313382-74-0P 313382-75-1P
313382-76-2P 313382-77-3P 313382-79-5P
313382-81-9P 313382-82-0P 313382-84-2P
313382-85-3P 313382-86-4P 313382-88-6P
313382-90-0P 313382-91-1P 313382-93-3P
313382-95-5P 313382-96-6P 313382-98-8P
313383-00-5P 313383-02-7P 313383-04-9P
313383-06-1P 313383-08-3P 313383-10-7P
313383-12-9P 313383-15-2P 313383-16-3P
313383-17-4P 313383-18-5P 313383-19-6P
313383-20-9P 313383-21-0P 313383-22-1P
313383-23-2P 313383-24-3P 313383-25-4P
313383-26-5P 313383-27-6P 313383-28-7P
313383-29-8P 313383-30-1P 313383-31-2P
313383-32-3P 313383-34-5P 313383-35-6P
313383-36-7P 313383-37-8P 313383-38-9P
313383-39-0P 313383-40-3P 313383-42-5P
313383-44-7P 313383-46-9P 313383-47-0P
313383-48-1P 313383-50-5P 313383-52-7P
313383-54-9P 313383-56-1P 313383-58-3P
313383-60-7P 313383-61-8P 313383-62-9P
313383-63-0P 313383-64-1P 313383-65-2P
313383-66-3P 313383-68-5P 313383-69-6P
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313383-76-5P 313383-78-7P 313383-80-1P
313383-82-3P 313383-84-5P 313383-86-7P
313383-88-9P 313383-89-0P 313383-90-3P
313383-91-4P 313383-92-5P 313383-94-7P
313383-96-9P 313383-97-0P 313383-98-1P
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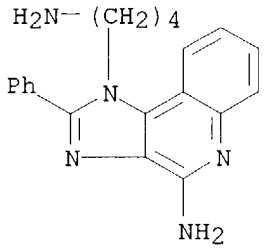
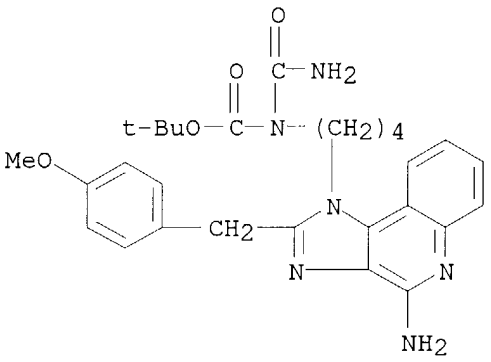
313384-10-0P 313384-12-2P 313384-14-4P
313384-16-6P 313384-18-8P 313384-20-2P
313384-22-4P 313384-24-6P 313384-26-8P
313384-28-0P 313384-30-4P 313384-31-5P

(Preparation); RACT (Reactant or reagent)
(preparation of imidazoquinolinamines as immune response modifiers)
RN 313350-27-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanamine, 4-amino-2-phenyl- (9CI) (CA INDEX NAME)



RN 313385-30-7 CAPLUS
CN Carbamic acid, (aminocarbonyl)[4-[4-amino-2-[(4-methoxyphenyl)methyl]-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:414123 CAPLUS
DOCUMENT NUMBER: 139:6869
TITLE: Preparation of thioether substituted imidazoquinolinamines as cytokine biosynthesis inducers for treatment of viral and neoplastic disease.
INVENTOR(S): Bonk, Jason D.; Dellaria, Joseph F.; Merrill, Bryon A.; Radmer, Matthew R.
PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA
SOURCE: U.S. Pat. Appl. Publ., 57 pp., Cont.-in-part of U.S. Ser. No. 13,059.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2003100764	A1	20030529	US 2002-165222	20020607
US 6667312	B2	20031223		
US 2002173655	A1	20021121	US 2001-13059	20011206
US 6664264	B2	20031216		
WO 2003050121	A1	20030619	WO 2002-US18290	20020607

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG

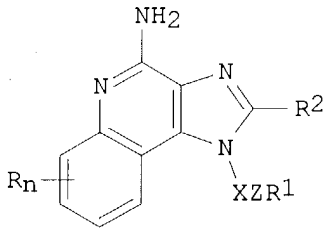
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004072858	A1	20040415	US 2003-675833	20030930

PRIORITY APPLN. INFO.:
US 2000-254218P P 20001208
US 2001-13059 A2 20011206
US 2001-11921 A1 20011206

OTHER SOURCE(S): MARPAT 139:6869

GI



I

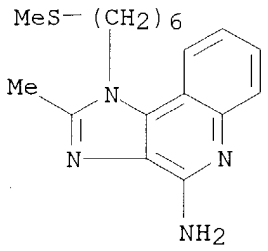
AB Title compds. [I; X = CHR3, CHR3A; A = alkyl, alkenyl; Z = S, SO, SO2; R = alkyl, alkoxy, OH, halo, CF3; R1 = alkyl, aryl, heteroaryl, heterocyclyl, alkenyl, R4Ar; Ar = aryl, heteroaryl, heterocyclyl; R2 = H, (substituted) alkyl, alkenyl, Ar, etc.; R3 = H, alkyl; R4 = alkylene, alkenylene; n = 0-4], were prepared Thus, 2-butyl-1-[4-(methylthio)butyl]-1H-imidazo[4,5-c]quinolin-4-amine in CHCl3 was treated with 3-chloroperbenzoic acid over 15 min. followed by stirring at ambient temperature for 5 min. to give 2-butyl-1-[4-(methylsulfonyl)butyl]-1H-imidazo[4,5-c]quinolin-4-amine. The latter showed interferon and tumor necrosis factor induction in human blood cells with lowest effective concns. of 0.01 and 0.04 μM, resp. I pharmaceutical compns. are claimed.

IT **434285-63-9P 434285-66-2P 534582-84-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of thioether substituted imidazoquinolinamines as cytokine biosynthesis inducers for treatment of viral and neoplastic disease)

RN 434285-63-9 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[4-(phenylthio)butyl]- (9CI) (CA INDEX NAME)

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-methyl-1-[6-(methylthio)hexyl]- (9CI) (CA INDEX NAME)

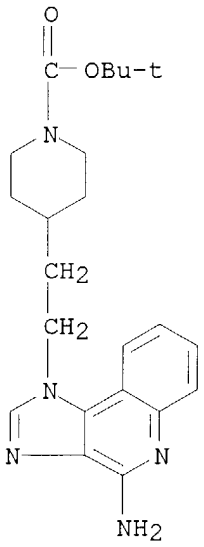


L7 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:393700 CAPLUS
DOCUMENT NUMBER: 139:261235
TITLE: 1H-Imidazo[4,5-c]quinoline derivatives as novel potent TNF-α suppressors: synthesis and structure-activity relationship of 1-, 2-and 4-substituted 1H-imidazo[4,5-c]quinolines or 1H-imidazo[4,5-c]pyridines
AUTHOR(S): Izumi, Tomoyuki; Sakaguchi, Jun; Takeshita, Makoto; Tawara, Harumi; Kato, Ken-Ichi; Dose, Hitomi; Tsujino, Tomomi; Watanabe, Yoshinari; Kato, Hideo
CORPORATE SOURCE: R&D Headquarters, Research Division, Hokuriku Seiyaku Co., Ltd., 37-1-1, Inokuchi, Katsuyama, Fukui, 911-8555, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(12), 2541-2550
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Structural modification of imiquimod, which is known as an interferon-α (IFN-α) inducer, for the aim of finding a novel and small-mol. tumor necrosis factor-α (TNF-α) suppressor and structure-activity relationship (SAR) are described. Structural modification of a imiquimod analog, 4-amino-1-2-(1-benzyl-4-piperidyl)ethyl-1H-imidazo[4,5-c]quinoline, which had moderate TNF-α suppressing activity without IFN-α inducing activity, led to a finding of 4-chloro-2-phenyl-1-[2-(4-piperidyl)ethyl]-1H-imidazo[4,5-c]quinoline with potent TNF-α suppressing activity. The relation between conformational direction of 2-(4-piperidyl)ethyl group at position 1 and TNF-α suppressing activity is also demonstrated by NMR.

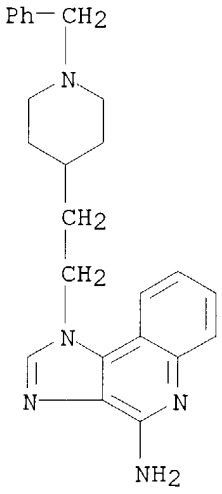
IT **259179-03-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(deprotection of; multi-step preparation and structure-activity relationship of substituted imidazoquinolines or imidazopyridines as potent TNF-α suppressors)

RN 259179-03-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

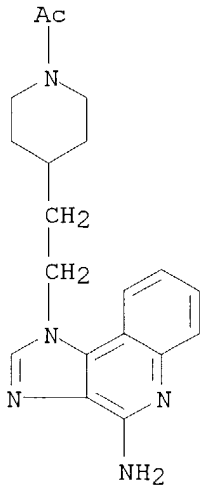


IT **259179-04-9P 259179-05-0P 600553-01-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**
(multi-step preparation and structure-activity relationship of substituted imidazoquinolines or imidazopyridines as potent TNF-α suppressors)

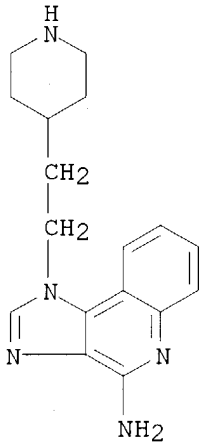
RN 259179-04-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 259179-05-0 CAPLUS
CN Piperidine, 1-acetyl-4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 600553-01-3 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

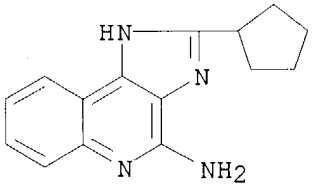
L7 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:526972 CAPLUS
DOCUMENT NUMBER: 138:130578
TITLE: Selective allosteric enhancement of agonist binding and function at human A3 adenosine receptors by a series of imidazoquinoline derivatives
AUTHOR(S): Gao, Zhan-Guo; Kim, Seong Gon; Soltysiak, Kelly A.; Melman, Neli; Ijzerman, Adriaan P.; Jacobson, Kenneth A.
CORPORATE SOURCE: Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, MD, USA
SOURCE: Molecular Pharmacology (2002), 62(1), 81-89
CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

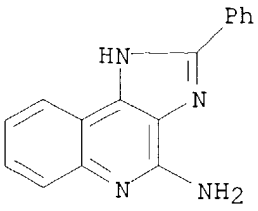
AB We have identified a series of 1H-imidazo-[4,5-c]quinolines as selective allosteric enhancers of human A3 adenosine receptors. Several of these compds. potentiated both the potency and maximal efficacy of agonist-induced responses and selectively decreased the dissociation of the agonist N6-(4-amino-3-[125I]iodobenzyl) -5'-N-methylcarboxamidoadenosine from human A3 adenosine receptors. There was no effect on the dissociation of the antagonist [3H]8-ethyl-4-methyl-2-phenyl- (8R)-4,5,7,8-tetrahydro-1H-imidazo[2.1-i]purin-5-one (PSB-11) from the A3 receptors, as well as [3H]N6-[(R)-phenylisopropyl]adenosine from rat brain A1 receptors and [3H]2-[p-(2-carboxyethyl)phenyl-ethylamino] -5'-N-ethylcarboxamidoadenosine from rat striatal A2A receptors, suggesting the selective enhancement of agonist binding at A3 receptors. The analogs were tested as antagonists of competitive binding at human A3 receptors, and Ki values ranging from 120 nM to 101 μM were observed; as for many allosteric modulators of G protein-coupled receptors, an ortho-steric effect was also present. The most promising leads from the present set of analogs seem to be the 2-cyclopentyl-1H-imidazo[4,5-c]quinoline derivs., of which the 4-phenylamino analog DU124183 had the most favorable degree of allosteric modulation vs. receptor antagonism. The inhibition of forskolin-stimulated cAMP accumulation in intact cells that express human A3 receptors was employed as a functional index of A3 receptor activation. The enhancer DU124183 caused a marked leftward shift of the concentration-response curve of the A3 receptor agonists in the presence of antagonist and, surprisingly, a potentiation of the maximum agonist efficacy by approx. 30%. Thus, we have identified a novel structural lead for developing allosteric enhancers of A3 adenosine receptors; such enhancers may be useful for treating brain ischemia and other hypoxic conditions.

IT **132206-98-5P 132207-01-3P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(selective allosteric enhancement of agonist binding and function at human A3 adenosine receptors by imidazoquinoline derivs.)

RN 132206-98-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-cyclopentyl- (9CI) (CA INDEX NAME)



RN 132207-01-3 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-phenyl- (9CI) (CA INDEX NAME)



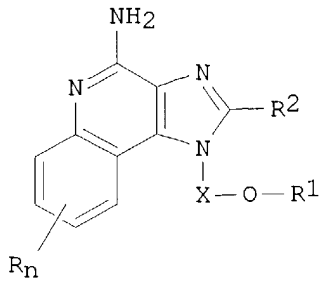
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449684 CAPLUS
DOCUMENT NUMBER: 137:33299
TITLE: Preparation of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases
INVENTOR(S): Charles, Leslie J.; Dellaria, Joseph F.; Griesgraber, George W.; Heppner, Philip D.; Manske, Karl J.; Mickelson, John W.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046193	A2	20020613	WO 2001-US46704	20011206
WO 2002046193	A3	20030227		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002030618	A5	20020618	AU 2002-30618	20011206
US 2003065005	A1	20030403	US 2001-11921	20011206
US 6664260	B2	20031216		
EP 1339715	A2	20030903	EP 2001-990852	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016047	A	20030930	BR 2001-16047	20011206
EE 200300271	A	20031015	EE 2003-271	20011206
NO 2003002596	A	20030606	NO 2003-2596	20030606
US 2004072858	A1	20040415	US 2003-675833	20030930

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46704 W 20011206

OTHER SOURCE(S): MARPAT 137:33299
GI



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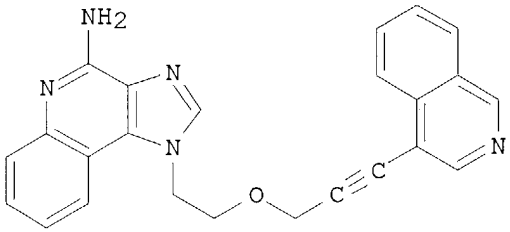


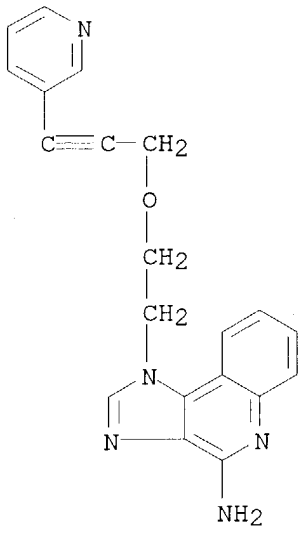
II

AB Title (tetrahydro)imidazoquinolines that contain ether and heterocyclyl or heteroaryl functionality at the 1-position [I; wherein X = CHR3, CHR3-alkyl, or CHR3-alkenyl; R = independently alkyl, alkoxy, OH, halo, or CF3; R1 = heteroaryl, heterocyclyl, R4-heteroaryl, or R4-heterocyclyl; R2 = H, alkyl, alkenyl, (hetero)aryl, heterocyclyl, alkyl-Y-alkyl; alkyl-Y-alkenyl, or alkyl-Y-aryl in which the alkyl and alkenyl groups may be substituted; R3 = independently H or alkyl; R4 = alkyl or alkenyl, which may be interrupted by one or more O groups; Y = independently O or S(O)0-2; n = 0-4; or their pharmaceutically acceptable salts] were prepared as immune response modifiers which can induce the biosynthesis of various cytokines. For example, 2-(1H-imidazo[4,5-c]quinolin-1-yl)-1-ethanol was treated with NaOH and propargyl bromide in CH2Cl2 to give the ether. Oxidization using 3-chloroperoxybenzoic acid afforded the 5N-oxide, which was reacted with trichloroacetyl isocyanate and hydrolyzed to give the amine. BOC protection, followed by addition of 4-bromoisoquinoline in the presence of Pd(PPh3)2Cl2 and TEA in DMF and treatment with TFA under nitrogen, afforded II. II induced interferon (IFN) and tumor necrosis factor α (TNF-α) in human blood cell systems with at concns. of 0.12 μM and 3.33 μM, resp. Thus, I are useful in the treatment of a variety of conditions, including viral and neoplastic diseases (no data).

IT **436157-88-9P 436158-24-6P 436158-26-8P 436158-28-0P**, 1-[1-[[(5-Chloro-1-benzothien-3-yl)methoxy]methyl]-2-methylpropyl]-1H-imidazo[4,5-c]quinolin-4-amine **436158-30-4P**, 1-[2-[(5-Chloro-1-benzothien-3-yl)methoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine **436158-32-6P**, 1-[2-[(3-Nitropyridin-2-yl)oxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine **436158-69-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(immune response modulator; preparation of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases)

RN 436157-88-9 CAPLUS

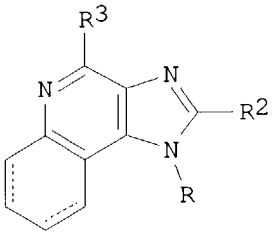
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[3-(3-pyridinyl)propoxy]ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 17 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449683 CAPLUS
DOCUMENT NUMBER: 137:20377
TITLE: Preparation of 1-(alkyl- or arylthioalkyl) imidazo[4,5-c]quinoline-4-amines and analogs as cytokine biosynthesis inducers
INVENTOR(S): Dellaria, Joseph F.; Merrill, Bryon A.; Radmer, Matthew R.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046192	A2	20020613	WO 2001-US46697	20011206
WO 2002046192	A3	20030213		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002039530	A5	20020618	AU 2002-39530	20011206
US 2003065005	A1	20030403	US 2001-11921	20011206
US 6664260	B2	20031216		
EP 1341791	A2	20030910	EP 2001-987297	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300275	A	20031015	EE 2003-275	20011206
NO 2003002595	A	20030606	NO 2003-2595	20030606

US 2004072858 A1 20040415 US 2003-675833 20030930
PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46697 W 20011206
OTHER SOURCE(S): MARPAT 137:20377
GI



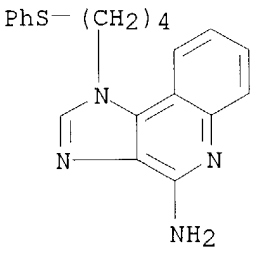
I

AB Title compds. [(un)substituted I; R = Z2Z1R1; R1 = alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R2 = H, alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R3 = NH2; Z1 = SO0-2; Z2 = alkylene; dashed lines = optional addnl. bonds], useful as immune response modifiers, were prepared Thus, 4-chloro-3-nitroquinoline was aminated by H2N(CH2)4OH and O-protected product reduced to give, after cyclocondensation with BuC(OMe)3, I (R2 = Bu, dashed lines = bonds)[II; R = (CH2)4OSiCMe2CMe3, R3 = H] which was converted in 4 steps to II [R = (CH2)4SPh, R3 = NH2]. Data for biol. activity of I were given.

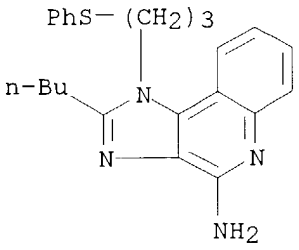
IT **434285-63-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of 1-(alkyl- or arylthioalkyl) imidazo[4,5-c]quinoline-4-amines and analogs as cytokine biosynthesis inducers)

RN 434285-63-9 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[4-(phenylthio)butyl]- (9CI) (CA INDEX NAME)



IT **434285-55-9P 434285-57-1P 434285-58-2P**
434285-59-3P 434285-60-6P 434285-61-7P
434285-62-8P 434285-64-0P 434285-65-1P
434285-66-2P 434285-67-3P 434285-68-4P
434285-69-5P 434285-70-8P 434285-71-9P
434285-72-0P 434285-73-1P 434285-74-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of 1-(alkyl- or arylthioalkyl) imidazo[4,5-c]quinoline-4-amines and analogs as cytokine biosynthesis inducers)

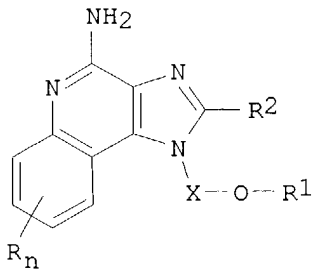


L7 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449682 CAPLUS
DOCUMENT NUMBER: 137:33298
TITLE: Preparation of urea substituted imidazoquinoline ethers as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046191	A2	20020613	WO 2001-US46696	20011206
WO 2002046191	A3	20030313		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002032497	A5	20020618	AU 2002-32497	20011206
US 2003065005	A1	20030403	US 2001-11921	20011206
US 6664260	B2	20031216		
EP 1343784	A2	20030917	EP 2001-992018	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300272	A	20031015	EE 2003-272	20011206
NO 2003002449	A	20030528	NO 2003-2449	20030528
US 2004072858	A1	20040415	US 2003-675833	20030930

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46696 W 20011206

OTHER SOURCE(S): MARPAT 137:33298
GI



I

AB The title compds. [I; X = (CH2)2, CHEtCH2, etc.; R1 = R4NR8CR3NR5ZR6alkyl, R4NR8CR3NR5ZR6aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = O, S; R4 = alkylene or alkenylene which may be interrupted by one or more O atoms; R5 = H, alkyl; R6 = a bond, alkylene or alkenylene which may be interrupted by one or more O atoms; R8 = H, alkyl, aralkyl; or R4 and R8 can join together to form a ring; Z = a bond, CO, SO2; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain ether and urea functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of the urea I [X = (CH2)2; R1 = (CH2)2NMeCONHPh; R2 = (CH2)2OMe; n = 0] which showed the lowest concentration of 0.01 μM and 0.37 μM to induce interferon α and TNFα, resp., was prepared The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **437383-04-5P 437383-06-7P 437383-08-9P**
437383-09-0P 437383-10-3P 437383-11-4P
437383-12-5P 437383-13-6P 437383-14-7P
437383-15-8P 437383-16-9P 437383-17-0P
437383-18-1P 437383-19-2P 437383-20-5P
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437383-27-2P 437383-28-3P 437383-29-4P
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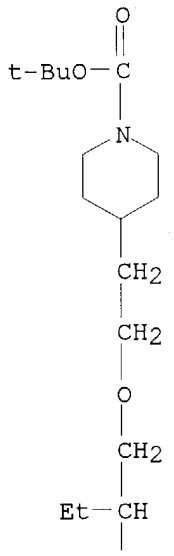
437383-39-6P 437383-40-9P 437383-41-0P
437383-42-1P 437383-43-2P 437383-44-3P
437383-45-4P 437383-46-5P 437383-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation);**
USES (Uses)
(preparation of urea substituted imidazoquinoline ethers as immune response modifiers)

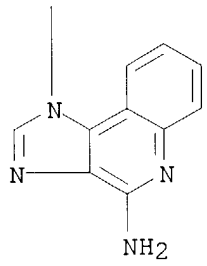
RN 437383-04-5 CAPLUS

CN Urea, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N'-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L7 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449681 CAPLUS
DOCUMENT NUMBER: 137:33297
TITLE: Preparation of sulfonamido ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Greisgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.; Roberts, Ralph R.; Wei, Ai-Ping
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002046190	A2	20020613	WO 2001-US46582	20011206															
WO 2002046190	A3	20030717																	
W:	AE,	AG,	AL,	AM,	AT,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,			
	CN,	CO,	CR,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	DZ,	EC,	EE,	EE,	ES,			
	FI,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,			
	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,			
	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK,			
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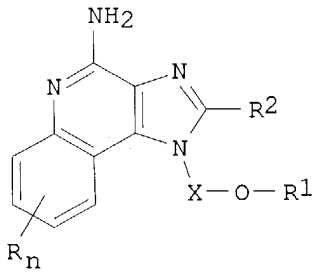
	AZ,	BY,	KG,	KZ															
RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,			
	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,			
	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
AU 2002039517	A5	20020618	AU 2002-39517	20011206															
US 2003065005	A1	20030403	US 2001-11921	20011206															
US 6664260	B2	20031216																	
EP 1341790	A2	20030910	EP 2001-987283	20011206															
R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR									
EE 200300274	A	20031015	EE 2003-274	20011206															
NO 2003002473	A	20030530	NO 2003-2473	20030530															
US 2004072858	A1	20040415	US 2003-675833	20030930															

PRIORITY APPLN. INFO.:
US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46582 W 20011206

OTHER SOURCE(S): MARPAT 137:33297

GI

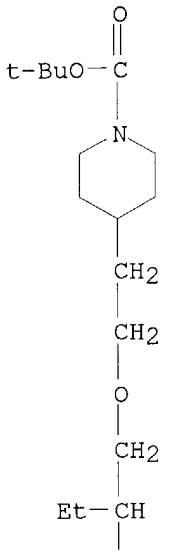


I

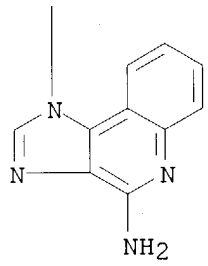
AB The title compds. [I; X = (CH2)2, (CH2)3, CHEtCH2, etc.; R1 = R4NR3SO2R6alkyl, R4NR3SO2R6aryl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, aralkyl; R4 = alkylene or alkenylene interrupted by one or more O atoms; or R3R4 can join together to form a ring; R6 = a bond, alkylene or alkenylene which may be interrupted by one or more O atoms; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain substituted amine functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of I [X = (CH2)2; R1 = (CH2)2NMeSO2Me; R2 = (CH2)2OMe; n = 0] which showed the lowest concentration of 0.01 μM and 0.12 μM to induce interferon α and TNFα, resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **437382-50-8P 437382-52-0P 437382-54-2P**
437382-55-3P 437382-56-4P 437382-57-5P
437382-58-6P 437382-59-7P 437382-60-0P
437382-61-1P 437382-62-2P 437382-63-3P
437382-64-4P 437382-65-5P 437382-66-6P

PAGE 1-A



PAGE 2-A



L7 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449680 CAPLUS
DOCUMENT NUMBER: 137:33296
TITLE: Preparation of aryl ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Charles, Leslie J.; Dellaria, Joseph F.; Heppner, Philip D.; Merrill, Bryon A.; Mickelson, John W.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046189	A2	20020613	WO 2001-US46581	20011206

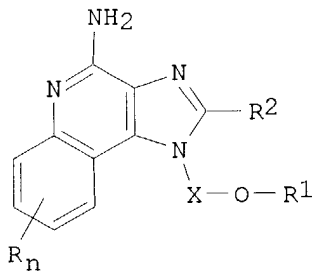
WO 2002046189 A3 20030320
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002039516	A5	20020618	AU 2002-39516	20011206	
US 2003065005	A1	20030403	US 2001-11921	20011206	
US 6664260	B2	20031216			
EP 1341789	A2	20030910	EP 2001-987282	20011206	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EE 200300270	A	20031015	EE 2003-270	20011206	
NO 2003002452	A	20030716	NO 2003-2452	20030528	
US 2004072858	A1	20040415	US 2003-675833	20030930	

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46581 W 20011206

OTHER SOURCE(S): MARPAT 137:33296

GI



I

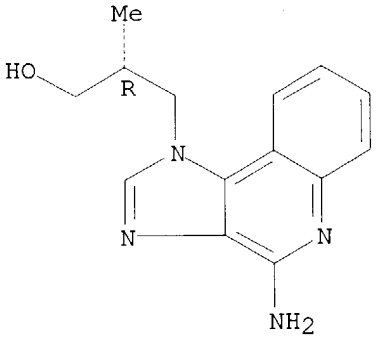
AB The title compds. [I; X = (CH2)2, CHEtCH2, etc.; R1 = alkenyl, aryl, R4-aryl; R2 = H, alkyl, alkenyl, etc.; R4 = alkyl, alkenyl which may be interrupted by one or more O atoms; R3 = H, alkyl; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain ether and aryl or alkenyl functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of I [X = (CH2)2; R1 = CH2C.tplbond.CH; R2 = H; n = 0] which showed the lowest concentration of 0.12 μM and 1.11 μM to induce interferon α and TNFα, resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **436157-68-5P 437602-85-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of aryl ether substituted imidazoquinolines as immune response modifiers)

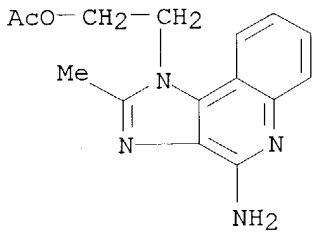
RN 436157-68-5 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-propynyloxy)ethyl]- (9CI) (CA

Absolute stereochemistry.



RN 437604-12-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-methyl-, acetate (ester) (9CI) (CA INDEX NAME)



L7 ANSWER 21 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449679 CAPLUS
DOCUMENT NUMBER: 137:33295
TITLE: Preparation of amido ether substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046188	A2	20020613	WO 2001-US46359	20011206
WO 2002046188	A3	20030313		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002032482	A5	20020618	AU 2002-32482	20011206
US 2003065005	A1	20030403	US 2001-11921	20011206
US 6664260	B2	20031216		
EP 1341792	A2	20030910	EP 2001-992005	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300268	A	20031015	EE 2003-268	20011206
NO 2003002451	A	20030716	NO 2003-2451	20030528
US 2004072858	A1	20040415	US 2003-675833	20030930

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
US 2001-11921 A1 20011206
WO 2001-US46359 W 20011206

OTHER SOURCE(S): MARPAT 137:33295

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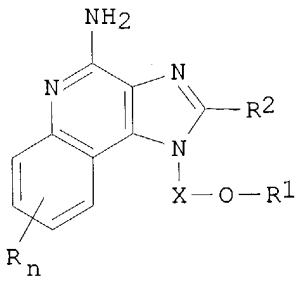


I

AB The title compds. [I; X = (CH2)2, CH(Et)CH2, etc.; R1 = (CH2)4CONMePh, (CH2)2NHCO(cyclohexyl), (CH2)2NHCO(1-naphthyl), etc.; R2 = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, OH, halo, CF3; n = 0-4] and their pharmaceutically acceptable salts that contain ether and amide functionality at the 1-position, and are useful as immune response modifiers, were prepared Thus, reacting 2-(1H-imidazo[4,5-c]quinolin-1-yl)ethanol with 5-bromo-N-methyl-N-phenylpentamide followed by treatment of the resulting N-oxide product with trichloroacetyl isocyanate in CH2Cl2, and then treating the intermediate with NaOMe/MeOH afforded I [X = (CH2)2; R1 = (CH2)4CONMePh; R2 = H; n = 0] which showed interferon α induction at 3.33 μM. The compds. I can induce the biosynthesis of various cytokines, and are useful in the treatment of a variety of conditions, including viral diseases and neoplastic diseases.

IT **436855-79-7P 436855-86-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of amido ether substituted imidazoquinolines as immune response modifiers)

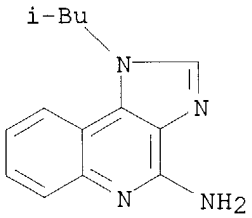
RN 436855-79-7 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(2-aminoethoxy)ethyl]-2-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 22 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:571694 CAPLUS
DOCUMENT NUMBER: 136:325481
TITLE: Synthesis of imiquimod
AUTHOR(S): Shen, Jingshan; Li, Jianfeng; Li, Huijun; Yan, Tiema; Lei, Lijun; Ji, Ruyun; Wang, Guili; Yang, Zhi
CORPORATE SOURCE: Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai, 200031, Peop. Rep. China
SOURCE: Huaxue Yanjiu Yu Yingyong (2001), 13(3), 249-252
CODEN: HYYIFM; ISSN: 1004-1656
PUBLISHER: Huaxue Yanjiu Yu Yingyong Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 136:325481

AB Imiquimod was synthesized from 4-hydroxy-2(1H)-quinolinone by nitration with HNO3 in glacial acetic acid, substitution with POCl3 in the presence of pyridine under refluxing for 6 h, substitution with isobutylamine in ethanol in the presence of triethylamine at 65-75° for 12 h, hydrogenation in ethanol in the presence of Raney-Ni at 50-60°, cyclization with tri-Me orthoformate at 80° for 16 h to obtain 3-amino-2-chloro-4-isobutylaminoquinoline, further substitution with NH3 in methoxyethanol at 100° and 9 atm for 4 h.

IT **99011-02-6P**, Imiquimod
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(synthesis of imiquimod)
RN 99011-02-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 23 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:360094 CAPLUS
DOCUMENT NUMBER: 134:366874
TITLE: Preparation of dye-labeled imidazoquinolines and analogs as immunomodulators
INVENTOR(S): Wei, Ai-Ping; Tomai, Mark A.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034709	A1	20010517	WO 2000-US30366	20001103

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,

KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM,
TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6376669	B1	20020423	US 2000-705072	20001102
EP 1228147	A1	20020807	EP 2000-980282	20001103
EP 1228147	B1	20040204		
JP 2004500347	T2	20040108	JP 2001-537411	20001103
AT 258963	E	20040215	AT 2000-980282	20001103
US 2002120141	A1	20020829	US 2002-78645	20020219
US 6630588	B2	20031007		
NO 2002001974	A	20020628	NO 2002-1974	20020425

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:
US 1999-163724P P 19991105
US 2000-705072 A 20001102
WO 2000-US30366 W 20001103

OTHER SOURCE(S): MARPAT 134:366874

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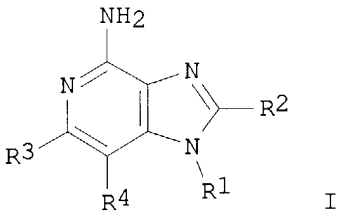


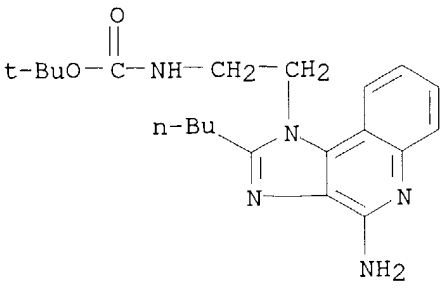
I

AB Title compds. [I; R1 = ZR; R = dye residue; R2 = H, (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R3,R4 = H, halo, alkyl, alkoxy, etc.; R3R4 = atoms to complete a ring; Z = spacer group], useful, inter alia, for determining the binding and/or receptor sites of the mols., were prepared Thus, 3-nitro-4-quinolinol was aminated by H2N(CH2)4CHCO2CMe3 and the reduced product cyclocondensed with MeOCH2CH2COCl to give, in 3 addnl. steps, I [R1 = (CH2)4NHR, R2 = CH2CH2OMe, R3R4 = CH:CHCH:CH](II; R = H) which was amidated by fluorescein 5-isothiocyanate to give II (R = CSNHZ1R5, R5 = 6-hydroxy-3-oxo-3H-xanthen-9-yl, Z1 = 3-carboxy-1,4-phenylene). Data for biol. activity of 1 prepared I were given.

IT **339545-42-5P 339545-44-7P 340128-24-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of dye-labeled imidazoquinolines and analogs as immunomodulators)

RN 339545-42-5 CAPLUS

CN Thiourea, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-N'-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:900462 CAPLUS
DOCUMENT NUMBER: 134:56667
TITLE: Preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076519	A1	20001221	WO 2000-US15722	20000608
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6331539	B1	20011218	US 2000-589216	20000607
BR 2000011433	A	20020305	BR 2000-11433	20000608
EP 1198233	A1	20020424	EP 2000-938211	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003501474	T2	20030114	JP 2001-502852	20000608
EE 200100669	A	20030217	EE 2001-669	20000608
NZ 515967	A	20031031	NZ 2000-515967	20000608
US 2003130299	A1	20030710	US 2001-166321	20010615
NO 2001005502	A	20020207	NO 2001-5502	20011109
ZA 2001009854	A	20030228	ZA 2001-9854	20011129
ZA 2001009857	A	20030228	ZA 2001-9857	20011129
ZA 2001009861	A	20030228	ZA 2001-9861	20011129
HR 2001000890	A1	20030831	HR 2001-890	20011129
US 2004029877	A1	20040212	US 2001-27272	20011221

PRIORITY APPLN. INFO.:
US 1999-138365P P 19990610
US 2000-589216 A 20000607
WO 2000-US15722 W 20000608

US 2001-166321 A1 20010615

OTHER SOURCE(S): MARPAT 134:56667

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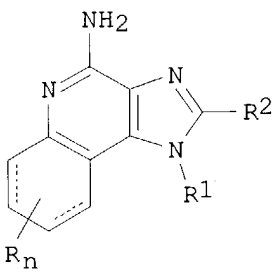

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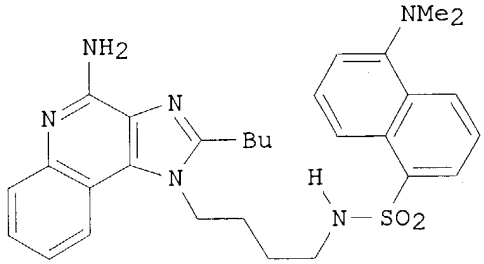


II

AB The title compds. [I; R1 = alkylNR3SO2XR4, alkenylNR3SO2XR4 (wherein X = a bond, NR5; R3 = H, alkyl; R4 = (un)substituted aryl, heteroaryl, alkyl, etc.; R5 = H, alkyl; R4 and R5 can combine to form 3-7 membered (un)substituted heterocyclic ring); R2 = H, alkyl, aryl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4], useful as immune response modifiers, were prepared Thus, reacting 5-dimethylamino-1-naphthalenesulfonyl chloride with 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine in the presence of N,N-diisopropylethylamine in CH2Cl2 afforded the naphthalenesulfonamide II which induced interferon α and TNFα biosynthesis in human cells at 0.12 μM and 3.33 μM, resp. The compds. I can induce the biosynthesis of various cytokines such as interferon α and TNFα (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **313355-92-9P 313355-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation);** RACT (Reactant or reagent); USES (Uses)
(preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers)

RN 313355-92-9 CAPLUS

CN Benzenesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-3-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

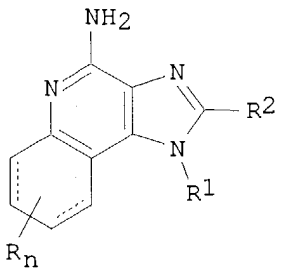
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:900461 CAPLUS
DOCUMENT NUMBER: 134:56666
TITLE: Preparation of urea substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Crooks, Stephen L.; Merrill, Bryon A.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

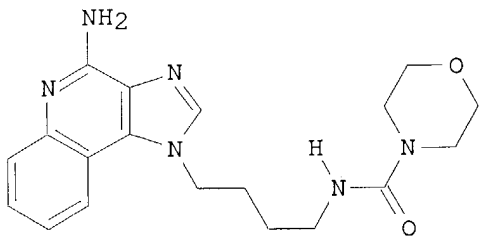
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076518	A1	20001221	WO 2000-US15656	20000608
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6541485	B1	20030401	US 2000-589236	20000607
EP 1198232	A1	20020424	EP 2000-938205	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003501473	T2	20030114	JP 2001-502851	20000608
EE 200100668	A	20030217	EE 2001-668	20000608
AU 766565	B2	20031016	AU 2000-53281	20000608
NZ 515968	A	20031031	NZ 2000-515968	20000608
NO 2001005504	A	20020207	NO 2001-5504	20011109
ZA 2001009854	A	20030228	ZA 2001-9854	20011129
ZA 2001009857	A	20030228	ZA 2001-9857	20011129
ZA 2001009861	A	20030228	ZA 2001-9861	20011129
HR 2001000889	A1	20030831	HR 2001-889	20011129
US 2004029877	A1	20040212	US 2001-27272	20011221
US 2004014754	A1	20040122	US 2003-352604	20030128

PRIORITY APPLN. INFO.:
US 1999-138365P P 19990610
US 2000-589236 A 20000607
US 2000-589216 A1 20000607
WO 2000-US15656 W 20000608
US 2001-166321 A1 20010615

OTHER SOURCE(S): MARPAT 134:56666
GI



I



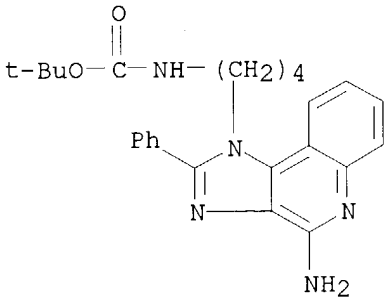
II

AB The title compds. [I; R1 = alkylNR3CYNR5XR4, alkenylNR3CYNR5XR4 (wherein Y = O, S; X = a bond, CO, SO2; R3 = H, alkyl; R4 = (un)substituted aryl, heteroaryl, alkyl, etc.; R5 = H, alkyl; R4 and R5 can combine to form 3-7 membered (un)substituted heterocyclic ring); R2 = H, alkyl,aryl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4], useful as immune response modifiers, were prepared Thus, reacting 4-morpholinecarbonyl chloride with 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine in pyridine afforded II which induced interferon α biosynthesis in human cells at 3.33 μM. The compds. I can induce the biosynthesis of various cytokines such as interferon α and TNFα (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **313350-26-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of urea substituted imidazoquinolines as immune response modifiers)

RN 313350-26-4 CAPLUS

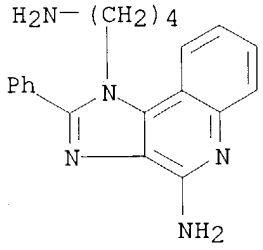
CN Carbamic acid, [4-(4-amino-2-phenyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



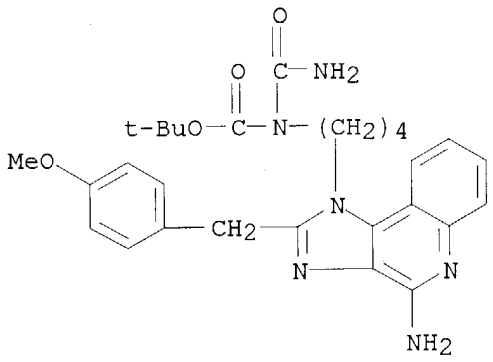
IT **210303-99-4P 313350-16-2P 313382-67-1P**
313382-68-2P 313382-69-3P 313382-70-6P
313382-71-7P 313382-72-8P 313382-73-9P
313382-74-0P 313382-75-1P 313382-76-2P
313382-77-3P 313382-79-5P 313382-81-9P
313382-82-0P 313382-84-2P 313382-85-3P
313382-86-4P 313382-88-6P 313382-90-0P
313382-91-1P 313382-93-3P 313382-95-5P
313382-96-6P 313382-98-8P 313383-00-5P
313383-02-7P 313383-04-9P 313383-06-1P

(Preparation); RACT (Reactant or reagent)
(preparation of urea substituted imidazoquinolines as immune response modifiers)

RN 313350-27-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanamine, 4-amino-2-phenyl- (9CI) (CA INDEX NAME)



RN 313385-30-7 CAPLUS
CN Carbamic acid, (aminocarbonyl)[4-[4-amino-2-[(4-methoxyphenyl)methyl]-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:900448 CAPLUS
DOCUMENT NUMBER: 134:56665
TITLE: Preparation of amide substituted imidazoquinolines as immune response modifiers
INVENTOR(S): Coleman, Patrick L.; Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076505	A1	20001221	WO 2000-US15702	20000608

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

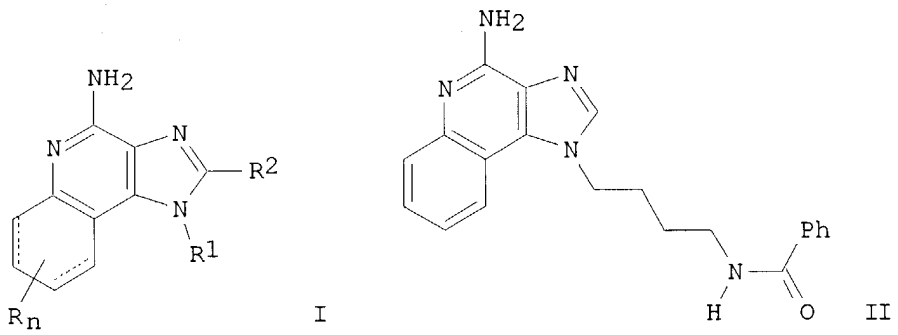
US 6451810	B1	20020917	US 2000-589580	20000607	
EP 1187613	A1	20020320	EP 2000-950215	20000608	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003501466	T2	20030114	JP 2001-502838	20000608	
EE 200100670	A	20030217	EE 2001-670	20000608	
NO 2001005503	A	20020208	NO 2001-5503	20011109	
ZA 2001009854	A	20030228	ZA 2001-9854	20011129	
ZA 2001009857	A	20030228	ZA 2001-9857	20011129	
ZA 2001009861	A	20030228	ZA 2001-9861	20011129	
HR 2001000888	A1	20030831	HR 2001-888	20011129	
US 2004029877	A1	20040212	US 2001-27272	20011221	

PRIORITY APPLN. INFO.:

US 1999-138365P	P	19990610
US 2000-589580	A	20000607
US 2000-589216	A1	20000607
WO 2000-US15702	W	20000608
US 2001-166321	A1	20010615

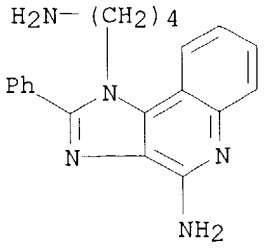
OTHER SOURCE(S): MARPAT 134:56665

GI



AB The title compds. [I; R1 = alkylNR3COR4, alkenylNR3COR4 (wherein R4 = (un)substituted aryl, heteroaryl, alkyl, etc.); R2 = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4] and their pharmaceutically acceptable salts, useful as immune response modifiers, were prepared Thus, reacting 1-(4-aminobutyl)-1H-imidazo[4,5-c]quinolin-4-amine with benzoyl chloride in pyridine afforded the benzamide II which showed the lowest concentration of 0.37 μM to induce interferon in human cells. The compds. I can induce the biosynthesis of various cytokines (data given for interferon α and TNFα) and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

IT **313347-45-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; RACT (Reactant or reagent); USES (Uses)
(preparation of amide substituted imidazoquinolines as immune response



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

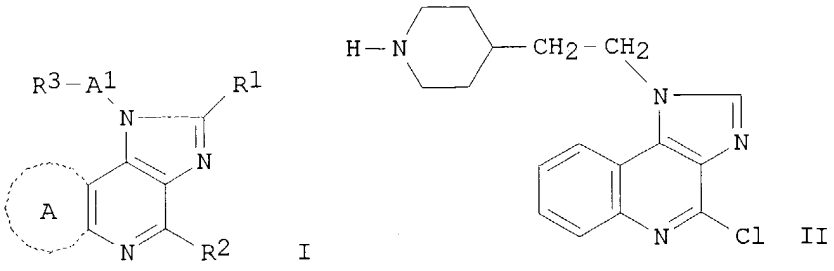
L7 ANSWER 27 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:133679 CAPLUS
DOCUMENT NUMBER: 132:180573
TITLE: Preparation of imidazopyridine derivatives as TNF and IL-1 production inhibitors
INVENTOR(S): Kato, Hideo; Sakaguchi, Jun; Aoyama, Makoto; Izumi, Tomoyuki; Kato, Ken-ichi
PATENT ASSIGNEE(S): Hokuriku Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009506	A1	20000224	WO 1999-JP4381	19990812
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000119271	A2	20000425	JP 1999-216125	19990730
TW 533209	B	20030521	TW 1999-88113701	19990811
CA 2339562	AA	20000224	CA 1999-2339562	19990812
AU 9951974	A1	20000306	AU 1999-51974	19990812
AU 744388	B2	20020221		
EP 1104764	A1	20010606	EP 1999-937053	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9914306	A	20020521	BR 1999-14306	19990812
NZ 509939	A	20020828	NZ 1999-509939	19990812
CZ 292544	B6	20031015	CZ 2001-503	19990812
NO 2001000676	A	20010410	NO 2001-676	20010209
BG 105271	A	20011130	BG 2001-105271	20010219
ZA 2001001452	A	20010917	ZA 2001-1452	20010221
HR 2001000144	A1	20020430	HR 2001-144	20010228
US 6518265	B1	20030211	US 2001-744959	20010502

PRIORITY APPLN. INFO.: JP 1998-241062 A 19980812
JP 1999-216125 A 19990730
WO 1999-JP4381 W 19990812

OTHER SOURCE(S): MARPAT 132:180573

GI

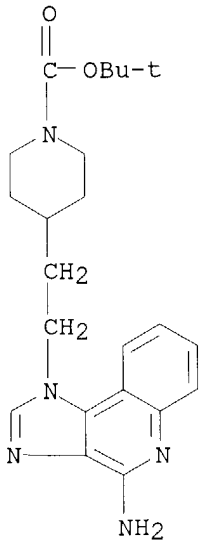


AB The title compds. I [A1 = (CH2)m; R1 is hydrogen, hydroxyl, alkyl, cycloalkyl, styryl or aryl; R2 is hydrogen, alkyl, halogeno, hydroxyl, amino, cyclic amino or phenoxy; ring A is an optionally substituted homocycle or heterocycle; R3 is a saturated nitrogenous heterocyclic group; and m is an integer of 0 to 3] are prepared In an in vitro test using cells, the title compound II.CF3CO2H at 0.001 μmol gave 79% inhibition of TNF-α production

IT **259179-03-8P 259179-04-9P 259179-05-0P**
259179-06-1P 259179-07-2P 259179-18-5P
259179-32-3P 259180-57-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of imidazopyridine derivs. as TNF and IL-1 production inhibitors)

RN 259179-03-8 CAPLUS

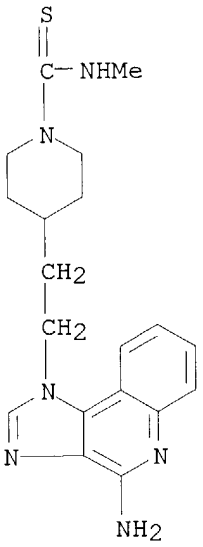
CN 1-Piperidinecarboxylic acid, 4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 259179-04-9 CAPLUS

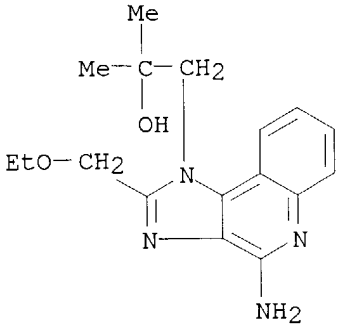
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

CN 1-Piperidinecarbothioamide, 4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:555369 CAPLUS
DOCUMENT NUMBER: 132:87525
TITLE: S-28463: treatment of hepatitis C, interferon inducer
AUTHOR(S): Graul, A.; Castaner, J.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (1999), 24(6), 622-627
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 22 refs. on the synthesis, pharmacol., and clin. studies of S-28463, an interferon inducer with antiviral activity.
IT **144875-48-9P**, S-28463
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(S-28463: synthesis, antiviral and immunomodulating activities)
RN 144875-48-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-(ethoxymethyl)-α,α-dimethyl- (9CI) (CA INDEX NAME)

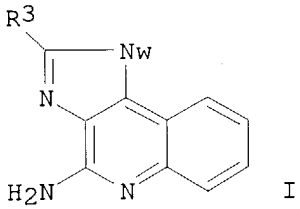


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:518673 CAPLUS
DOCUMENT NUMBER: 131:175067
TITLE: Topical preparations containing interferon-inducing amides
INVENTOR(S): Iizuka, Takao; Nanba, Ryoichi; Watanabe, Eiji; Ueda, Mieko
PATENT ASSIGNEE(S): Terumo Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11222432	A2	19990817	JP 1998-21652	19980203

PRIORITY APPLN. INFO.: JP 1998-21652 19980203
OTHER SOURCE(S): MARPAT 131:175067
GI



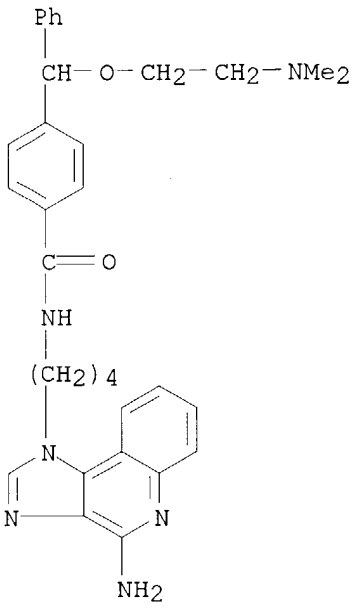
AB The prepns. contain amides I [R1, R2 = C1-6 (branched) alkyl; R1R2 may form ring; R1 or R2 may be linked to X, Y, or any of the CH2; X, Y = O, SOp (p = 0-2), NR4, R5C:CR6, CR7R8, (un)substituted C6H4; R4-R8 = H, lower alkyl, OH, lower alkoxy, NH2, etc.; Z = (un)substituted aromatic ring, heterocyclyl; R3 = H, (un)substituted Ph, lower (un)substituted alkyl; w = (CH2)nNHCO(CH2)mZl(CH2)kYj(CH2)iXh(CH2)gNR1R2; g, i, k = 0-6; h, j, l = 0, 1; m = 0-5; n = 2-12] or their salts, dissoln./absorption accelerators, and bases. The prepns. are useful for treatment of atopic dermatitis. An ointment containing I (R1 = R2 = Me, g = 2, Xh = O, i, k, m = 0, Yj = CHPh, Zl = 4-C6H4, n = 4, R3 = H) and SP 20 (sorbitan monolaurate) showed good

bioavailability.

IT **210304-22-6P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; PROC (Process); USES (Uses)
(topical prepns. containing interferon-inducing amides for treatment of atopic dermatitis)

RN 210304-22-6 CAPLUS

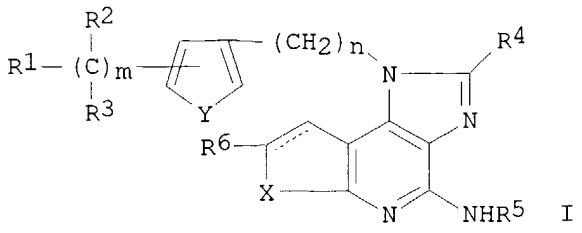
CN Benzamide, N-[4-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-4-[[2-(dimethylamino)ethoxy]phenylmethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 30 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:206895 CAPLUS
DOCUMENT NUMBER: 130:291590
TITLE: 1-(Substituted aryl)alkyl-1H-imidazopyridin-4-amines as interferon inducers
INVENTOR(S): Kato, Hideo; Sakaguchi, Osamu; Aoyama, Makoto; Tsubouchi, Katsutoshi
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 78 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11080156	A2	19990326	JP 1997-255926	19970904

PRIORITY APPLN. INFO.: JP 1997-255926 19970904
OTHER SOURCE(S): MARPAT 130:291590
GI



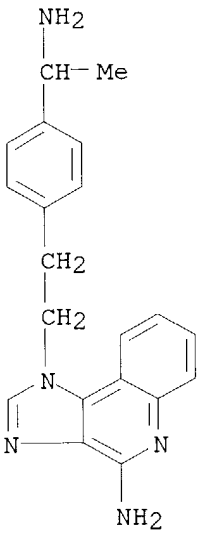
I

AB The compds. I [R1 = OR7, SO2NR8R9, CONHR8R9, NR10R11, CR12:NOH, OH, cyano; R2, R3 = H, lower alkyl; R4 = H, C1-10 linear or branched alkyl which may be substituted with ≥1 OH, lower alkyl, cycloalkyl, halo; R5 = H, lower alkyl; R6 = H, lower alkyl, lower alkoxy, halo; R7 = OH, lower alkyl, lower alkoxy; R8, R9 = H, lower alkyl; R10 = H, lower alkyl, benzyl; R11 = H, lower alkyl, benzyl, lower alkanesulfonyl, lower alkanoyl, (un)substituted carbamoyl, (un)substituted thiocarbamoyl, (un)substituted benzenesulfonyl; R12 = H, lower alkyl; m = 0, 1; n = 1-3; X = C1-3 alkylene, CH:CH; Y = S, CH:CH; dotted line represents an optional bond] or their pharmacol. acceptable salts are claimed. I induce synthesis of interferons and are useful as antiviral agents and anticancer agents. Human PBMCs were incubated with 0.10 μg/mL 1-[2-(4-aminophenyl)ethyl]-1,6,7,8-tetrahydrocyclopenta[b]imidazo[4,5-d]pyridin-4-amine hydrochloride (preparation given) to produce 737 pg/mL interferon-α, vs. 62 pg/mL for a control incubated with 1-(2-phenylethyl)-1H-imidazo[4,5-c]quinolin-4-amine.

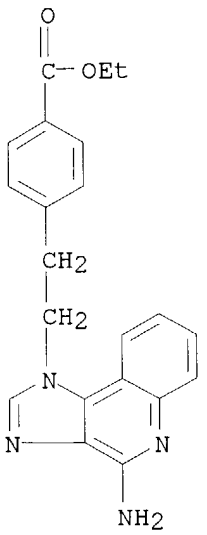
IT **223257-24-7P 223257-26-9P 223257-27-0P**
223257-28-1P 223257-29-2P 223257-30-5P
223257-31-6P 223257-32-7P 223257-33-8P
223257-34-9P 223257-35-0P 223257-36-1P
223257-37-2P 223257-38-3P 223257-39-4P
223257-40-7P 223257-41-8P 223257-42-9P
223257-43-0P 223257-44-1P 223257-45-2P
223257-46-3P 223257-47-4P 223257-48-5P
223257-49-6P 223257-50-9P 223257-51-0P
223257-52-1P 223257-53-2P 223257-54-3P
223257-55-4P 223257-56-5P 223257-57-6P
223257-58-7P 223257-59-8P 223257-60-1P
223257-61-2P 223257-62-3P 223257-63-4P
223257-64-5P 223257-65-6P 223257-66-7P
223257-67-8P 223257-68-9P 223257-69-0P
223257-70-3P 223257-71-4P 223257-72-5P
223257-73-6P 223257-74-7P 223257-75-8P
223257-76-9P 223258-00-2P 223258-01-3P
223258-02-4P 223258-03-5P 223258-04-6P
223258-05-7P 223258-06-8P 223258-07-9P
223258-08-0P 223258-12-6P 223258-13-7P
223258-14-8P 223258-15-9P 223258-16-0P
223258-17-1P 223258-18-2P 223258-19-3P
223258-20-6P 223258-21-7P 223258-37-5P
223258-39-7P 223258-40-0P 223258-41-1P
223258-42-2P 223258-43-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of imidazopyridinamine derivs. as interferon inducers for anticancer and antiviral drugs)

RN 223257-24-7 CAPLUS

CN Acetamide, N-[4-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-



RN 223258-43-3 CAPLUS
CN Benzoic acid, 4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 31 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:490641 CAPLUS
DOCUMENT NUMBER: 129:122665
TITLE: Preparation of novel amide derivatives as drugs
INVENTOR(S): Nanba, Ryouichi; Iizuka, Takao; Ishii, Takeo
PATENT ASSIGNEE(S): Terumo K. K., Japan
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9830562	A1	19980716	WO 1998-JP5	19980106
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 894797	A1	19990203	EP 1998-900159	19980106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6069149	A	20000530	US 1998-171521	19981123
PRIORITY APPLN. INFO.:			JP 1997-2375	19970109
			WO 1998-JP5	19980106

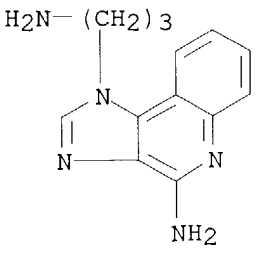
OTHER SOURCE(S): MARPAT 129:122665
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

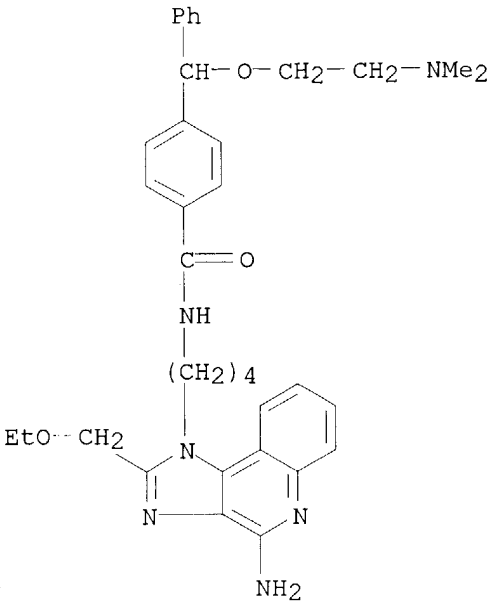
AB The title compds. (I; R1, R2 = branched C1-6 alkyl, or may combine together to form a cyclyl; X, Y = O, NR4, CR5, etc.; R4, R5 = H, lower alkyl, etc.; Z = aryl, heterocycle, OH, alkyl, etc.; R3 = H, lower alkoxy, etc.; g, i, k = 0-6; h, i, l = 0, 1; p = 0-5; n = 2-12) are prepared I, having an eosinophilic infiltration inhibitory effect based on a potent interferon (α,γ)-inducing activity and an excellent percutaneous absorbability, are useful in treating allergic inflammatory diseases such as atopic dermatitis, various tumors and viral diseases. Thus, compound (II) (preparation given) was cyclized with HC(OEt)3 to give the title compound (III). I were tested and showed enhancing IFN (α and γ)-inducing activity. A formulation containing I is also prepared

IT **195711-78-5P 195711-99-0P 210303-86-9P**
210303-88-1P 210303-99-4P 210304-08-8P
210304-10-2P 210304-19-1P 210304-20-4P
210304-22-6P 210304-23-7P 210304-24-8P
210304-25-9P 210304-26-0P 210304-27-1P
210304-28-2P 210304-29-3P 210304-30-6P
210304-31-7P 210304-32-8P 210304-33-9P
210304-34-0P 210304-35-1P 210304-36-2P
210304-37-3P 210304-38-4P 210304-39-5P
210304-40-8P 210304-41-9P 210304-42-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of novel amide derivs. as drugs)

RN 195711-78-5 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-propanamine, 4-amino- (9CI) (CA INDEX NAME)



RN 195711-99-0 CAPLUS

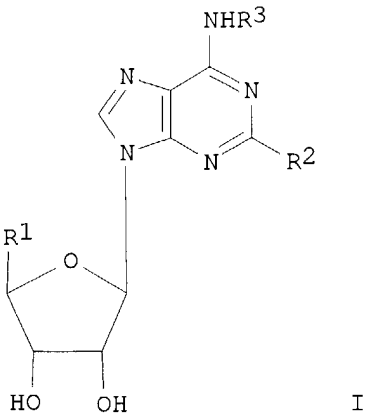


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:441960 CAPLUS
DOCUMENT NUMBER: 129:109311
TITLE: Preparation of nucleoside uronamides as A3 adenosine receptor agonists
INVENTOR(S): Jacobson, Kenneth A.; Gallo-Rodriguez, Carola; Van Galen, Philip J. M.; Von Lubitz, Dag K. J. E.; Jeong, Heaok Kim
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: U.S., 54 pp., Cont.-in-part of U. S. Ser. No. 163,324, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5773423	A	19980630	US 1994-274628	19940713
US 5688774	A	19971118	US 1995-396111	19950228

PRIORITY APPLN. INFO.: US 1993-91109 B2 19930713
US 1993-163324 B2 19931206
US 1994-274628 A2 19940713
OTHER SOURCE(S): MARPAT 129:109311
GI



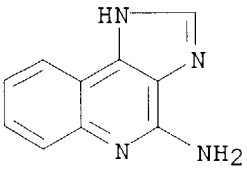
I

AB The present invention provides N6-benzyladenosine-5'-N-uronamide and related substituted compds. I (R1 = amide; R2 = halo, amino, alkenyl, alkynyl, thio, alkylthio; R3 = S-1-phenylethyl, Bn, phenylethyl), particularly those containing substituents on the benzyl and/or uronamide groups, and modified xanthine ribosides, as well as pharmaceutical compns. containing such compds. The present invention also provides a method of selectively activating an A3 adenosine receptor in a mammal, which method comprises acutely or chronically administering to a mammal in need of selective activation of its A3 adenosine receptor a therapeutically effective amount of a compound which binds with the A3 receptor so as to stimulate an A3 receptor-dependent response. Thus, N6-(3-iodobenzyl)adenosine was prepared tested for its affinity in binding at rat brain A1, A2, A3 adenosine receptors (Ki = 9.5-220.0 nM).

IT **132207-04-6P**, 1H-Imidazo[4,5-c]quinolin-4-amine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of nucleoside uronamides as A3 adenosine receptor agonists)

RN 132207-04-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:31310 CAPLUS

DOCUMENT NUMBER: 128:102088

TITLE: Process for preparing 1H-imidazo[4,5-c]quinolin-4-amines

INVENTOR(S): Gerster, John F.; Lindstrom, Kyle J.

PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748704	A1	19971224	WO 1996-US16972	19961022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5741908	A	19980421	US 1996-673712	19960621
CA 2257846	AA	19971224	CA 1996-2257846	19961022
AU 9739565	A1	19980107	AU 1997-39565	19961022
AU 721036	B2	20000622		
EP 912565	A1	19990506	EP 1996-946380	19961022
EP 912565	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
NZ 333169	A	20000825	NZ 1996-333169	19961022
JP 2000512995	T2	20001003	JP 1998-502898	19961022
US 5998619	A	19991207	US 1998-61401	19980416
NO 9806002	A	19981218	NO 1998-6002	19981218
KR 2000016783	A	20000325	KR 1998-710393	19981218
US 6150523	A	20001121	US 1999-375587	19990817
US 6437131	B1	20020820	US 2000-678192	20001004
US 2002188127	A1	20021212	US 2002-180678	20020626
US 6534654	B2	20030318		
US 2003130516	A1	20030710	US 2003-352606	20030128
US 6613902	B2	20030902		
US 2003153762	A1	20030814	US 2003-360210	20030206
US 6624305	B2	20030923		
NO 2003002132	A	19981218	NO 2003-2132	20030512
NO 2003002133	A	19981218	NO 2003-2133	20030512
NO 2003002134	A	19981218	NO 2003-2134	20030512
US 2004019213	A1	20040129	US 2003-624014	20030721

PRIORITY APPLN. INFO.:

US 1996-673712	A	19960621
WO 1996-US16972	W	19961022
US 1998-61401	A3	19980416
US 1999-375587	A3	19990817
US 2000-678192	A3	20001004
US 2002-180678	A3	20020626
US 2003-360210	A1	20030206

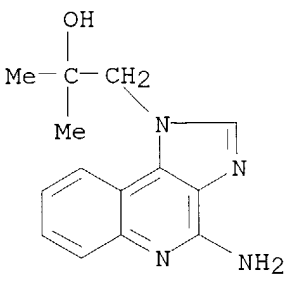
OTHER SOURCE(S): CASREACT 128:102088; MARPAT 128:102088

AB Tetrazolo[1,5-a]quinolin-5-ol (preparation given) was nitrated and the product O-sulfonated to give, after amination and reduction, N5-(2-methylpropyl)tetrazolo[1,5-a]quinolin-4,5-diamine which was cyclocondensed with (EtO)2CHOAc and the product treated with (Ph)3P to give 1-(2-methylpropyl)-4-(triphenylphosphoranylidene)amino-1H-imidazo[4,5-c]quinoline. The latter was hydrolyzed to a title compound

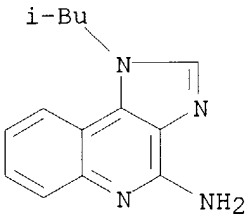
IT **112668-45-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(process for preparing 1H-imidazo[4,5-c]quinolin-4-amines)

RN 112668-45-8 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α,α-dimethyl- (9CI) (CA INDEX NAME)



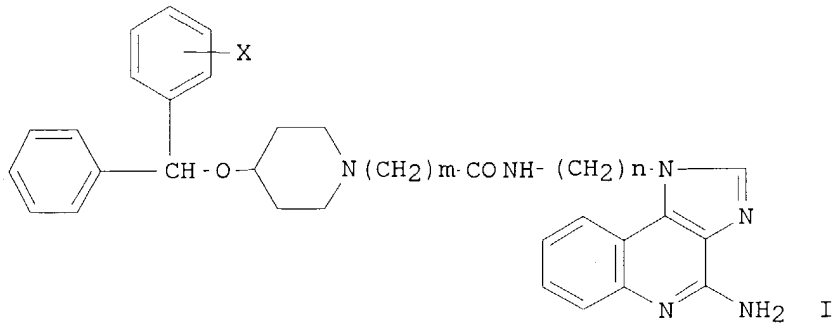
IT **99011-02-6P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); **PREP (Preparation)**
(process for preparing 1H-imidazo[4,5-c]quinolin-4-amines)
RN 99011-02-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 34 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:542873 CAPLUS
DOCUMENT NUMBER: 127:248129
TITLE: Preparation of imidazo[4,5-c]quinoline-containing amides and their intermediates and pharmaceuticals for atopic dermatitis
INVENTOR(S): Nanba, Ryoichi; Ishii, Takeo; Nishida, Hitoshi; Iizuka, Takao
PATENT ASSIGNEE(S): Terumo Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09208584	A2	19970812	JP 1996-13113	19960129

PRIORITY APPLN. INFO.: JP 1996-13113 19960129
OTHER SOURCE(S): MARPAT 127:248129
GI



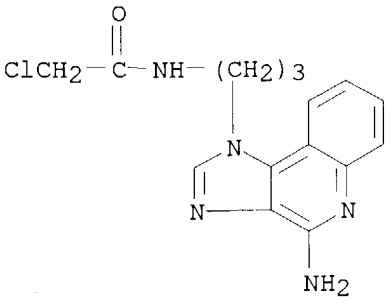
I

AB Title compds. I (X = H, halo; m = 1-9; n = 2-12), which show eosinophil infiltration inhibition and antihistaminic activity, are prepared Eight types of intermediates for I are also claimed. An EtOH solution containing 0.12 g 1-[3-(acrylamino)propyl]-1H-imidazo[4,5-c]quinoline-4-amine (preparation given), 0.13 g 4-(diphenylmethoxy)piperidine.HCl, and NaHCO3 was refluxed overnight to give 75 mg I (X = H, m = 2, n = 3), which in vitro inhibited histamine-induced contraction of tracheal muscle of guinea pig with IC50 of 3.4 + 10-7 M, vs. 1.5 + 10-7 M, for diphenhydramine.HCl. An ointment containing I was formulated.

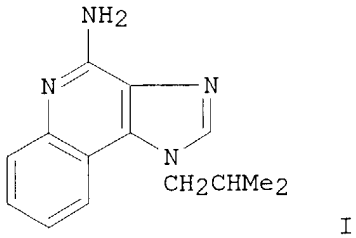
IT **195712-01-7P 195712-03-9P 195712-05-1P 195712-06-2P 195712-08-4P 195712-10-8P 195712-12-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of imidazo[4,5-c]quinoline-containing amides as pharmaceuticals for treatment of atopic dermatitis)

RN 195712-01-7 CAPLUS

CN 1-Piperidineacetamide, N-[3-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)propyl]-4-(diphenylmethoxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 35 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:243759 CAPLUS
DOCUMENT NUMBER: 125:10695
TITLE: Synthesis of the interferon-α inducer imiquimod by thermal electrocyclic reactions of 1- and 2-azahexatriene systems
AUTHOR(S): Yoshioka, Haruyuki; Matsuya, Yuhji; Choshi, Tominari; Sugino, Eiichi; Hibino, Satoshi
CORPORATE SOURCE: Fac. Pharm. Pharmaceutical Sci., Fukuyama Univ., Hiroshima, 729-02, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(4), 709-14
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:10695
GI

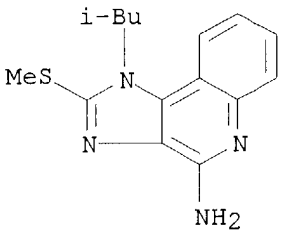


I

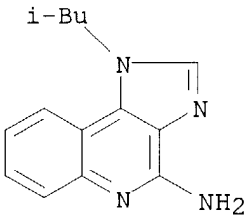
AB The interferon-α inducer imiquimod (I), possessing an imidazo[4,5-c]quinoline ring, has been newly synthesized by two routes based on thermal electrocyclic reactions of 1- and 2-azahexatriene systems involving the imidazole 4,5-bond.

IT **177212-77-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation of imiquimod via thermal electrocyclic reactions of the imidazole 4,5-bond of the 1- and 2-azahexatriene systems)

RN 177212-77-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

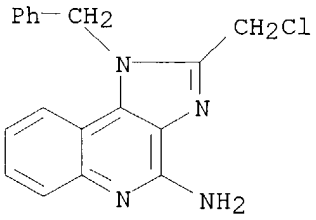


IT **99011-02-6P**, Imiquimod
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of imiquimod via thermal electrocyclic reactions of the imidazole 4,5-bond of the 1- and 2-azahexatriene systems)
RN 99011-02-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



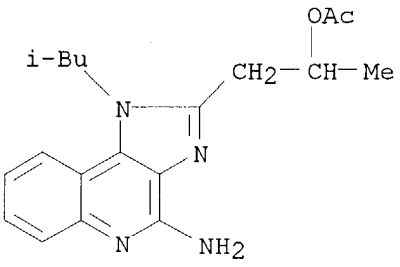
L7 ANSWER 36 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:420800 CAPLUS
DOCUMENT NUMBER: 123:83363
TITLE: 1-Substituted, 2-substituted 1H-imidazo[4,5-c]quinolin-4-amines as antiviral and antitumor agents and inducers of biosynthesis of interferon
INVENTOR(S): Gerster, John F.; Crooks, Stephen L.; Lindstrom, Kyle J.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 838,475, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5389640	A	19950214	US 1992-938295	19920828
CA 2104782	AA	19920902	CA 1992-2104782	19920220
CA 2104782	C	20010807		
EP 872478	A2	19981021	EP 1998-105754	19920220
EP 872478	A3	19981104		
EP 872478	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CA 2289219	C	20030520	CA 1992-2289219	19920220
ZA 9201540	A	19921125	ZA 1992-1540	19920228
IL 114570	A1	19961031	IL 1992-114570	19920301
US 5605899	A	19970225	US 1994-353802	19941212

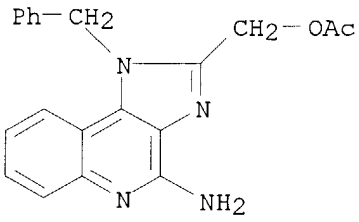


● HCl

RN 165120-32-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-2-ethanol, 4-amino-α-methyl-1-(2-methylpropyl)-, acetate (ester) (9CI) (CA INDEX NAME)



RN 165120-57-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-2-methanol, 4-amino-1-(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



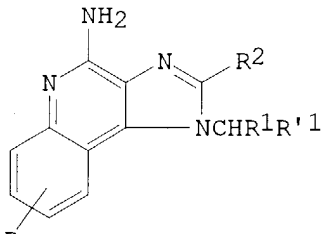
L7 ANSWER 37 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:229234 CAPLUS
DOCUMENT NUMBER: 122:10035
TITLE: Preparation of 1-substituted 1H-imidazo-[4,5-c]quinolin-4-amines as antiviral agents and immunomodulators
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: U.S., 12 pp. Cont.-in-part of U.S. 5,268,376.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5346905	A	19940913	US 1992-933408	19920821
US 5268376	A	19931207	US 1991-754610	19910904
ZA 9206456	A	19930304	ZA 1992-6456	19920826
HU 67398	A2	19950428	HU 1994-623	19920826
HU 69407	A2	19950928	HU 1994-3112	19920826
HU 217715	B	20000428		
CZ 281726	B6	19961211	CZ 1994-487	19920826
IL 102951	A1	19970930	IL 1992-102951	19920826
ES 2150918	T3	20001216	ES 1992-919122	19920826
US 5525612	A	19960611	US 1994-264731	19940623
US 5714608	A	19980203	US 1996-620779	19960322

PRIORITY APPLN. INFO.: US 1991-754610 A2 19910904
US 1992-933408 A3 19920821
US 1994-264731 A3 19940623

OTHER SOURCE(S): MARPAT 122:10035

GI



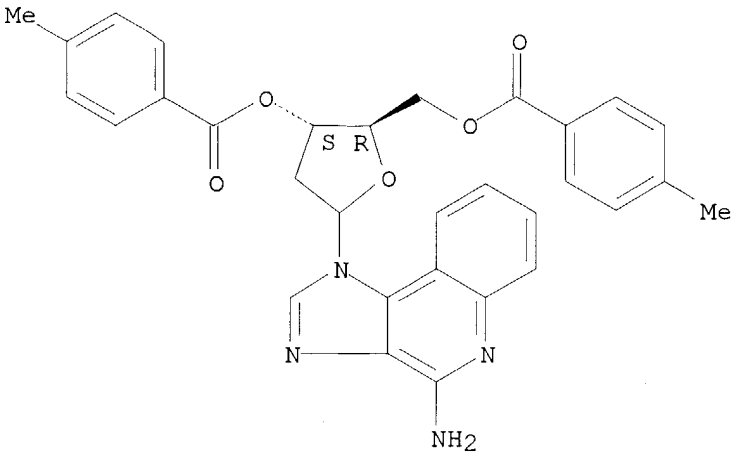
I

AB Title compds. I (R = H, C1-4 alkoxy, halo, C1-4 alkyl; R1 = C1-4 alkoxy, HO-C1-4 alkoxy, C2-10 alkynyl, tetrahydropyranyl, C1-4-alkoxy-C1-4 alkyl, 2-, 3-, 4-pyridyl; R'1 = H, C-C bond; R2 = H, C1-4 alkyl, (substituted) Ph) or a pharmaceutically acceptable salt, are prepared NaH was added to 1H-imidazo[4,5-c]quinolin-4-amine in DMF followed by ClCH2OEt to give I (R = R'1 = H, R1 = EtO). Antiviral herpes simplex II and immunomodulating activities was demonstrated.

IT **149836-10-2P 149836-11-3P 149836-12-4P**
149836-14-6P 149836-15-7P 149836-17-9P
149836-19-1P 149836-22-6P 149836-26-0P
149836-30-6P 159572-21-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of substituted imidazoquinolinamines as antiviral agents and immunomodulators)

RN 149836-10-2 CAPLUS

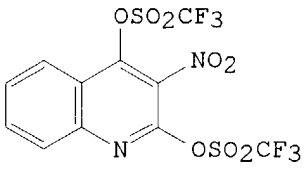
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(ethoxymethyl)- (9CI) (CA INDEX NAME)



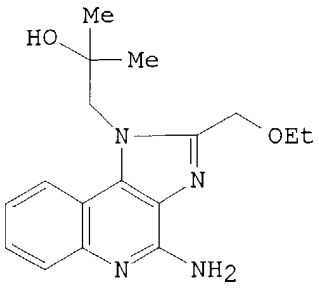
L7 ANSWER 38 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:579505 CAPLUS
DOCUMENT NUMBER: 121:179505
TITLE: Process for preparing quinoline amines
INVENTOR(S): Nikolaides, Nick; Lindstrom, Kyle J.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417043	A1	19940804	WO 1994-US906	19940125
W: AU, HU, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5395937	A	19950307	US 1993-11405	19930129
AU 9461656	A1	19940815	AU 1994-61656	19940125
AU 677381	B2	19970424		
EP 681570	A1	19951115	EP 1994-908641	19940125
EP 681570	B1	20010314		
R: CH, DE, ES, FR, GB, IE, IT, LI, SE				
JP 08505881	T2	19960625	JP 1994-517290	19940125
HU 73671	A2	19960930	HU 1995-1973	19940125
IL 108424	A1	19980924	IL 1994-108424	19940125
ES 2154672	T3	20010416	ES 1994-908641	19940125

PRIORITY APPLN. INFO.: US 1993-11405 A 19930129
WO 1994-US906 W 19940125
OTHER SOURCE(S): CASREACT 121:179505; MARPAT 121:179505
GI



I



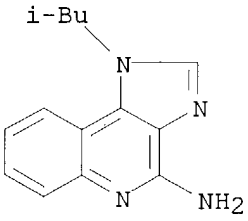
II

AB A process for preparing a 4-amino-3-nitroquinoline-2-sulfonate or a 4-(substituted)amino-3-nitroquinoline-2-sulfonate was disclosed. The process involves treatment of 3-nitroquinoline 2,4-disulfonate with an amine or a substituted amine in order to selectively aminate at the 4-position. Further steps afford various intermediates en route to 1H-imidazo[4,5-c]quinolin-4-amines. For example, 3-nitro-2,4-bis[[(trifluoromethyl)sulfonyl]oxy]quinoline (I) was prepared and converted into 4-amino-2-(ethoxymethyl)-α,α-dimethyl-1H-imidazo[4,5-c]quinoline-1-ethanol (II).

IT **99011-02-6P**, 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)
112668-45-8P, 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α,α-dimethyl- **132207-04-6DP**, 1H-Imidazo[4,5-c]quinolin-4-amine, derivs. **144875-48-9P**, 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-(ethoxymethyl)-α,α-dimethyl-
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of)

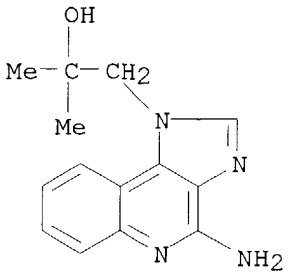
RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

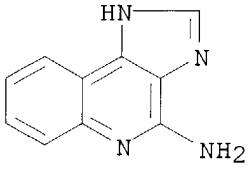


RN 112668-45-8 CAPLUS

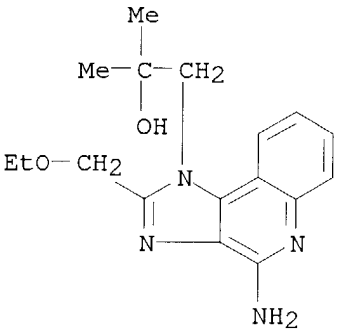
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α,α-dimethyl- (9CI) (CA INDEX NAME)



RN 132207-04-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine (9CI) (CA INDEX NAME)



RN 144875-48-9 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-(ethoxymethyl)-α,α-dimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 39 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:580780 CAPLUS
DOCUMENT NUMBER: 119:180780
TITLE: Preparation and antiviral activity of 2-ethyl-1H-imidazo(4,5-c)quinolin-4-amines
INVENTOR(S): Gerster, John F.; Weeks, Charles E.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

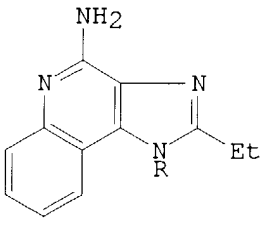
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9309119		A1	19930513	WO 1992-US9018	19921022
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
US 5266575		A	19931130	US 1991-788565	19911106
AU 9228938		A1	19930607	AU 1992-28938	19921022
AU 662569		B2	19950907		
JP 07500835		T2	19950126	JP 1992-508475	19921022
EP 641342		A1	19950308	EP 1992-922745	19921022
EP 641342		B1	19980805		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, SE				
HU 68251		A2	19950628	HU 1994-1317	19921022
CZ 281903		B6	19970312	CZ 1994-1081	19921022
AT 169299		E	19980815	AT 1992-922745	19921022
ES 2118835		T3	19981001	ES 1992-922745	19921022
JP 3447732		B2	20030916	JP 1993-508475	19921022
ZA 9208300		A	19930504	ZA 1992-8300	19921027
IL 103561		A1	19960618	IL 1992-103561	19921027

PRIORITY APPLN. INFO.: US 1991-788565 A 19911106
WO 1992-US9018 A 19921022

OTHER SOURCE(S): CASREACT 119:180780; MARPAT 119:180780

GI



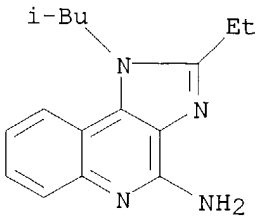
I

AB The preparation of intermediates to prepare the title compds. and title compds. I
(R = 2-methylpropyl, 2-hydroxy-2-methylpropyl) as virucides is claimed. Thus, cyclocondensation of N-(2-methylpropyl)-3,4-quinolinediamine with propionic acid followed by peracetic acid oxidation and ammonolysis gave title compound I (R = 2-methylpropyl).

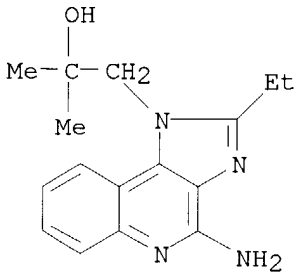
IT **149876-20-0P 149876-23-3P 149876-24-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)
(preparation of, as virucide)

RN 149876-20-0 CAPLUS

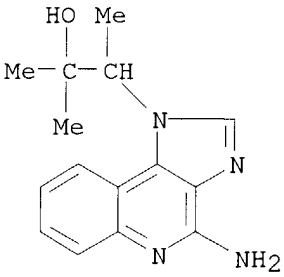
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-ethyl-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 149876-23-3 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-2-ethyl-α,α-dimethyl- (9CI) (CA INDEX NAME)



RN 149876-24-4 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α,α,β-trimethyl- (9CI) (CA INDEX NAME)



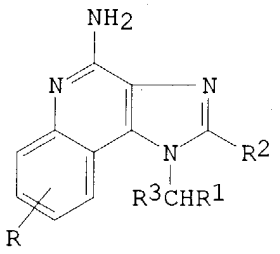
L7 ANSWER 40 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:539224 CAPLUS
DOCUMENT NUMBER: 119:139224
TITLE: 1-Substituted 4-amino-1H-imidazo[4,5-c]quinolines for herpes simplex treatment having interferon biosynthesis-stimulating properties
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305042	A1	19930318	WO 1992-US7226	19920826
W: AU, CA, CS, HU, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5268376	A	19931207	US 1991-754610	19910904
ZA 9206456	A	19930304	ZA 1992-6456	19920826
AU 9225147	A1	19930405	AU 1992-25147	19920826
EP 603251	A1	19940629	EP 1992-919122	19920826
EP 603251	B1	20001018		
R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, SE				
JP 06510299	T2	19941117	JP 1992-505271	19920826
HU 67398	A2	19950428	HU 1994-623	19920826
HU 69407	A2	19950928	HU 1994-3112	19920826
HU 217715	B	20000428		
CZ 281726	B6	19961211	CZ 1994-487	19920826
IL 102951	A1	19970930	IL 1992-102951	19920826
AT 197050	E	20001115	AT 1992-919122	19920826
ES 2150918	T3	20001216	ES 1992-919122	19920826
JP 3315983	B2	20020819	JP 1993-505271	19920826

PRIORITY APPLN. INFO.: US 1991-754610 A 19910904
WO 1992-US7226 A 19920826

OTHER SOURCE(S): MARPAT 119:139224

GI



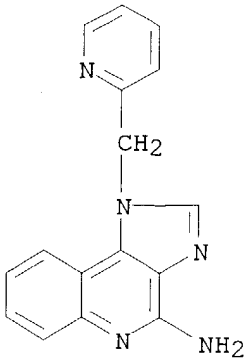
I

AB The title compds. I [R = H, (un)branched C1-4 alkoxy, halogen, (un)branched C1-4 alkyl; R1 = H, C-C bond; R2 = H, C1-4 alkyl, (un)substituted Ph; such that when R1 = H, then R3 = alkoxy, hydroxyalkoxy, C2-10 1-alkynyl, tetrahydropyranyl, alkoxyalkyl, pyridyl; R1R3 = (un)substituted tetrahydrofuranyl], useful for the treatment or inhibition of viral infections (e.g., herpes simplex, type II) by inducing interferon biosynthesis, are prepared Thus, 4-amino-1H-imidazo[4,5-c]quinoline was reacted with propargyl bromide in the presence of NaH, producing I (R = R1 = R2 = H, R3 = ethynyl) (II). When used to treat female guinea pigs (which had been intravaginally infected with herpes simplex type II virus) at dosage 2 mg/kg, a II formulation produced 57% lesion inhibition [i.e., [100-[(sum of maximum lesion scores of treatment group + 100)/(sum of maximum lesion scores of control groups)]]] and had interferon induction 600 units/mL (reciprocal of highest dilution which protects cells from virus).

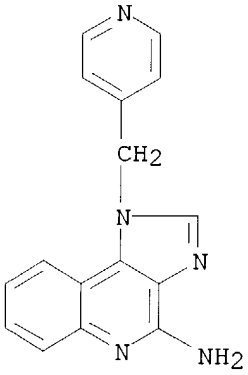
IT **132207-04-6P**, 1H-Imidazo[4,5-c]quinolin-4-amine
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and alkylation of, with chloromethyl Me ether)

RN 132207-04-6 CAPLUS

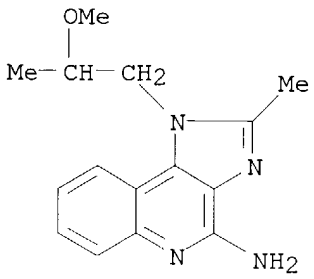
CN 1H-Imidazo[4,5-c]quinolin-4-amine (9CI) (CA INDEX NAME)



RN 149836-26-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 149836-30-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methoxypropyl)-2-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 41 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:22239 CAPLUS
DOCUMENT NUMBER: 118:22239
TITLE: Preparation of 1H-imidazo[4,5-c]quinoline-4-amines as virucides, neoplasm inhibitors, and interferon inducers
INVENTOR(S): Gerster, John F.; Crooks, Stephen L.; Lindstrom, Kyle

J.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9215582	A1	19920917	WO 1992-US1305	19920220
W: AU, CA, CS, HU, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2104782	AA	19920902	CA 1992-2104782	19920220
CA 2104782	C	20010807		
AU 9215669	A1	19921006	AU 1992-15669	19920220
AU 658621	B2	19950427		
EP 582581	A1	19940216	EP 1992-906763	19920220
EP 582581	B1	19990506		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
JP 06504789	T2	19940602	JP 1992-506455	19920220
JP 2955019	B2	19991004		
HU 67026	A2	19950130	HU 1993-2457	19920220
EP 872478	A2	19981021	EP 1998-105754	19920220
EP 872478	A3	19981104		
EP 872478	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CZ 285050	B6	19990512	CZ 1993-1788	19920220
AT 179711	E	19990515	AT 1992-906763	19920220
ES 2131070	T3	19990716	ES 1992-906763	19920220
SG 70625	A1	20000222	SG 1998-326	19920220
AT 229943	E	20030115	AT 1998-105754	19920220
ES 2186034	T3	20030501	ES 1998-105754	19920220
CA 2289219	C	20030520	CA 1992-2289219	19920220
ZA 9201540	A	19921125	ZA 1992-1540	19920228
IL 101110	A1	19951208	IL 1992-101110	19920301
IL 114570	A1	19961031	IL 1992-114570	19920301
NO 9303069	A	19931101	NO 1993-3069	19930827
AU 9527157	A1	19950921	AU 1995-27157	19950725
AU 673309	B2	19961031		

PRIORITY APPLN. INFO.:

US 1991-662926	A	19910301
US 1991-687326	A	19910418
CA 1992-2104782	A3	19920220
EP 1992-906763	A3	19920220
WO 1992-US1305	A	19920220
IL 1992-101110	A3	19920301

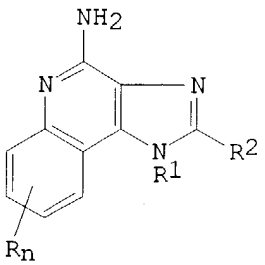
OTHER SOURCE(S): MARPAT 118:22239
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R = H, halo, alkoxy, alkyl; R1 = H, (substituted) alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, PhCH2, PhCH2CH2, Ph; R2, R3 = H, alkyl (substituted) Ph; X = alkoxy, alkoxyalkyl, haloalkyl, hydroxyalkyl, alkylamido, amino, N3, Cl, OH, morpholino, pyrrolidino, alkylthio], were prepared Thus, 2-ethoxymethyl-1-(2-hydroxy-2-methylpropyl)-1H-imidazo[4,5-c]quinoline 5-oxide (preparation given) was stirred with aqueous NH3
and 4-MeC6H4SO2Cl in CH2Cl2 to give 4-amino-α,2-dimethyl-2-ethoxymethyl-1H-imidazo[4,5-C]quinoline-1-ethanol. The latter at 3mg/kg/day, orally for 5d in mice reduced the number of MC-26 tumor colonies to 17 (vs. 55 for controls).
IT **144876-05-1P**

L7 ANSWER 42 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:651356 CAPLUS
DOCUMENT NUMBER: 117:251356
TITLE: Preparation of imidazo[4,5-c]quinolin-4-amines from imidazo[4,5-c]quinoline 5N-oxides
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

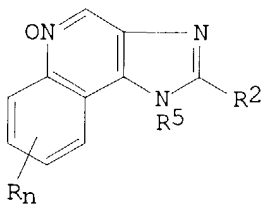
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9215581	A1	19920917	WO 1992-US1212	19920213
W: AU, CA, CS, HU, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5175296	A	19921229	US 1991-663110	19910301
AU 9216993	A1	19921006	AU 1992-16993	19920213
AU 657958	B2	19950330		
EP 575549	A1	19931229	EP 1992-909690	19920213
EP 575549	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06505499	T2	19940623	JP 1992-509323	19920213
JP 3313708	B2	20020812		
HU 66968	A2	19950130	HU 1993-2456	19920213
HU 218219	B	20000728		
AT 142632	E	19960915	AT 1992-909690	19920213
ES 2091463	T3	19961101	ES 1992-909690	19920213
JP 11269177	A2	19991005	JP 1999-31465	19920213
JP 3450736	B2	20030929		
CA 2104781	C	20020709	CA 1992-2104781	19920213
CZ 291368	B6	20030212	CZ 1993-1787	19920213
IL 100998	A1	19951031	IL 1992-100998	19920218
NO 9303105	A	19930831	NO 1993-3105	19930831

PRIORITY APPLN. INFO.: US 1991-663110 A 19910301
JP 1992-509323 A3 19920213
WO 1992-US1212 A 19920213

OTHER SOURCE(S): CASREACT 117:251356; MARPAT 117:251356
GI



I



II

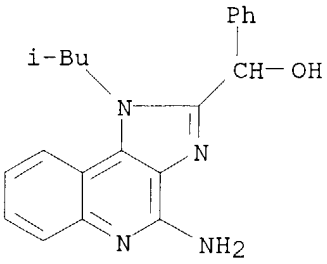
AB Title compds., e.g., [I; R1 = (substituted) alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl, Ph, PhCH2, PhCH2CH2; R2 = H, aryl, (substituted) Ph, PhCH2, PhCH2CH2, etc.; R = halo, alkoxy, alkyl; n = 0,

1], were prepared by treatment of N-oxides, e.g. [II R, R2, n as above, R5 = (substituted) alkyl, alkenyl, alkoxyalkyl, acyloxyalkyl, Ph, PhCH2, PhCH2CH2] with isocyanates followed by hydrolysis. Thus, 1-(2-methylpropyl)imidazo[4,5-C]quinoline 5N-oxide (preparation given) was refluxed with PhCONCO in CH2Cl2 to give 91.1% N-benzoyl-1-(2-methylpropyl)-1H-imidazo[4,5-C]quinolin-4-amine, which was refluxed with NaOMe in MeOH to give 1-(2-methylpropyl)-1H-imidazo[4,5-C]quinolin-4-amine.

IT **144660-66-2P 144660-67-3P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of)

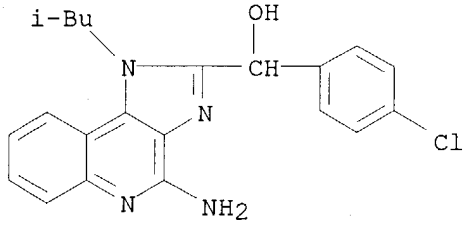
RN 144660-66-2 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline-2-methanol, 4-amino-1-(2-methylpropyl)-α-phenyl- (9CI) (CA INDEX NAME)



RN 144660-67-3 CAPLUS

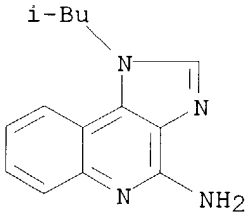
CN 1H-Imidazo[4,5-c]quinoline-2-methanol, 4-amino-α-(4-chlorophenyl)-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



IT **99011-02-6P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of, from imidazoquinoline oxide derivative)

RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

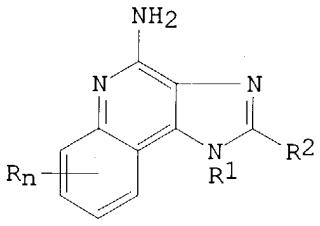


L7 ANSWER 43 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:426567 CAPLUS
DOCUMENT NUMBER: 117:26567
TITLE: Process for the preparation of imidazo[4,5-c]quinolin-4-amines
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206093	A1	19920416	WO 1991-US6682	19910913
W: CA, HU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2093132	AA	19920406	CA 1991-2093132	19910913
CA 2093132	C	20020226		
EP 553202	A1	19930804	EP 1991-918854	19910913
EP 553202	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
HU 63416	A2	19930830	HU 1993-965	19910913
HU 217080	B	19991129		
AT 121088	E	19950415	AT 1991-918854	19910913
ES 2071340	T3	19950616	ES 1991-918854	19910913
US 5367076	A	19941122	US 1992-879149	19920430

PRIORITY APPLN. INFO.: US 1990-593078 A 19901005
WO 1991-US6682 W 19910913
OTHER SOURCE(S): CASREACT 117:26567; MARPAT 117:26567
GI



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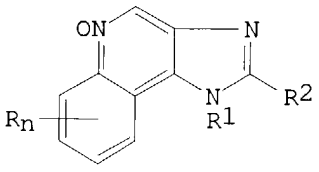


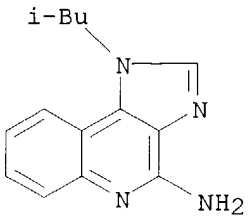
II

AB Title compds. I [R = H, alkyl, alkoxy, halogen; R1 = (un)substituted alkyl, alkenyl; R2 = H, (unsubstituted) alkyl, CH2Ph, CH2CH2Ph; n = 0-2] were prepared from N-oxides II by acylation and amination with NH3 or NH4OH. Thus, 4-quinolinol was nitrated, chlorinated, and then aminated to give 4-isobutylamino-3-nitroquinoline which was reduced, cyclized with HCO2H and oxidized to give II (R = R2 = H, R1 = CH2CHMe2, III). III was treated with NH4OH and 4-MeC6H4SO2Cl to give 50% I (R = R2 = H, R1 = CH2CHMe2).

IT **99011-02-6P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of)

RN 99011-02-6 CAPLUS

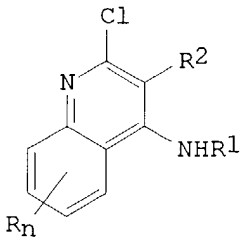
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



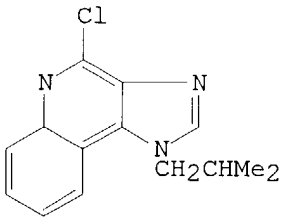
L7 ANSWER 44 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:228916 CAPLUS
DOCUMENT NUMBER: 114:228916
TITLE: Preparation of 3,4-diaminoquinolines as intermediates for 1H-imidazo(4,5-c)quinolines
INVENTOR(S): Andre, Jean Denis; Lagain, Daniel
PATENT ASSIGNEE(S): Riker Laboratories, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4988815	A	19910129	US 1989-426677	19891026
AU 9063928	A1	19910704	AU 1990-63928	19901009
AU 641693	B2	19930930		
CA 2027245	AA	19910427	CA 1990-2027245	19901010
CA 2027245	C	19990817		
HU 55777	A2	19910628	HU 1990-6404	19901010
HU 210051	B	19950130		
ZA 9008193	A	19910828	ZA 1990-8193	19901012
NO 9004625	A	19910429	NO 1990-4625	19901025
NO 175530	B	19940718		
NO 175530	C	19941026		
RU 2083563	C1	19970710	RU 1990-4831524	19901025
EP 425306	A2	19910502	EP 1990-311763	19901026
EP 425306	A3	19920108		
EP 425306	B1	19950823		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
JP 03206078	A2	19910909	JP 1990-290619	19901026
JP 2945121	B2	19990906		
BR 9005452	A	19910917	BR 1990-5452	19901026
ES 2075168	T3	19951001	ES 1990-311763	19901026
JP 04193866	A2	19920713	JP 1990-328732	19901128
JP 2941413	B2	19990825		
US 5578727	A	19961126	US 1995-455851	19950531
US 5602256	A	19970211	US 1995-454932	19950531

PRIORITY APPLN. INFO.: US 1989-426677 A 19891026
US 1990-606513 A3 19901031
OTHER SOURCE(S): MARPAT 114:228916
GI



I



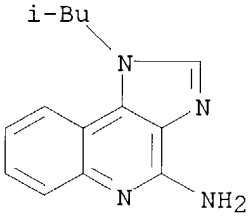
III

AB Quinolines I [R = alkyl, alkoxy, halo; R1 = (substituted) C1-10 alkyl, (substituted) C3-10 alkenyl; hydroxy-C1-6-alkyl, dihydroxy-C1-6-alkyl; R2 = O2N, H2N; n = 0-2] are prepared as intermediates for 1H-imidazo[4,5-c]quinolines, some of which are known bronchodilators or antiviral agents. Fuming HNO3 was added at 20° to a suspension of 4-hydroxy-2(1H)-quinolinone in AcOH and the mixture heated at 40° for 2.5 h to give 4-hydroxy-3-nitroquinolin-2-one; this was treated with POCl3 to give 2,4-dichloro-3-nitroquinoline, which was treated with Me2CHCH2NH2 and Et3N at 40° for 40 min to give 2-chloro-4-isobutylamino-3-nitroquinoline, which was hydrogenated in AcOH/Me2CHOH over Pt/C at room temperature for 30 h under 2 bars of H pressure to give I (Rn = null, R1 = Me2CHCH2, R2 = H2N) (II). A suspension of II and CH(OEt)3 was heated at 145° for 10 h with removal of EtOH by distillation to give imidazoquinoline III.

IT **99011-02-6P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of)

RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 45 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

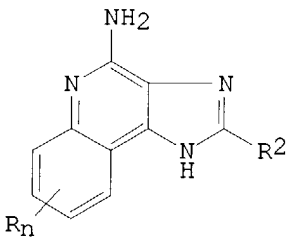
ACCESSION NUMBER: 1991:122367 CAPLUS
DOCUMENT NUMBER: 114:122367
TITLE: Preparation of 1H-imidazo[4,5-c]quinolin-4-amines as antiviral agents
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Riker Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 385630	A2	19900905	EP 1990-301766	19900219
EP 385630	A3	19920102		
EP 385630	B1	19961127		
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ES 2094141	T3	19970116	ES 1990-301766	19900219
CA 2010430	AA	19900827	CA 1990-2010430	19900220
AU 9050054	A1	19900830	AU 1990-50054	19900222
AU 630921	B2	19921112		
JP 03027380	A2	19910205	JP 1990-47117	19900227
JP 2941336	B2	19990825		
US 5756747	A	19980526	US 1995-455273	19950531
PRIORITY APPLN. INFO.:			US 1989-316035	19890227
			US 1993-70262	19930602

OTHER SOURCE(S): MARPAT 114:122367

GI



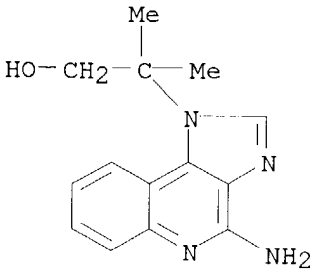
I

AB Title compds. I (R = C1-4 alkoxy, C1-4 alkyl, halo; n = 0-2; R2 = H, C1-4 alkyl, (substituted) Ph, PhCH2, PhCH2CH2) or a salt thereof, useful as antiviral agents and method for interferon induction (no data), are prepared 4-Chloro-β,β-dimethyl-2-(phenylmethyl)-1H-imidazo[4,5-c]quinoline-1-ethanol (preparation given) was aminated to give I(Rn = H; R2 = PhCH2).

IT **132521-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiviral agents)

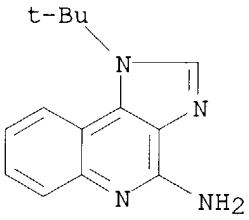
RN 132521-48-3 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-β,β-dimethyl- (9CI) (CA INDEX NAME)

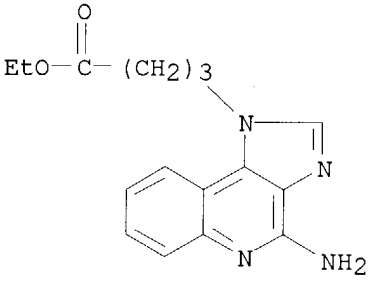


IT **99011-19-5P 132207-04-6P**, 1H-Imidazo[4,5-c]quinolin-4-amine **132521-54-1P 132521-55-2P 132521-61-0P 132521-62-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

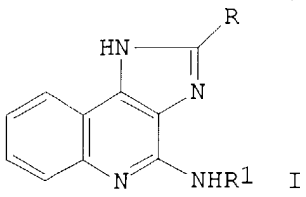
RN 132521-61-0 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 132521-62-1 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-butanoic acid, 4-amino-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 46 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:122173 CAPLUS
DOCUMENT NUMBER: 114:122173
TITLE: 1H-Imidazo[4,5-c]quinolin-4-amines: novel non-xanthine adenosine antagonists
AUTHOR(S): Van Galen, Philip J. M.; Nissen, Peter; Van Wijngaarden, Ineke; Ijzerman, Adriaan P.; Soudijn, Willem
CORPORATE SOURCE: Div. Med. Chem., Cent. Bio-Pharm. Sci., Leiden, 2300 RA, Neth.
SOURCE: Journal of Medicinal Chemistry (1991), 34(3), 1202-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:122173
GI



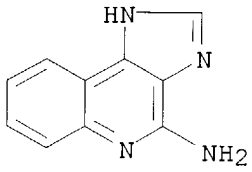
I

AB Synthesis and adenosine A1 and A2 receptor affinities of substituted 1H-imidazo[4,5-c]quinolin-4-amines (I, R, R1 = H, Ph, cyclopentyl; R = H, R1 = CHMeCH2Ph) are reported. Some of these compds. have nanomolar affinity for the A1 receptor. The structure-activity relationships (SAR) of these compds. are discussed in relation to SAR for other adenosine receptor ligands. I constitute a novel class of non-xanthine adenosine antagonists.

IT **132206-93-0P 132206-98-5P 132207-01-3P 132207-04-6P,** 1H-Imidazo[4,5-c]quinolin-4-amine
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation and adenosine receptor affinity of)

RN 132206-93-0 CAPLUS

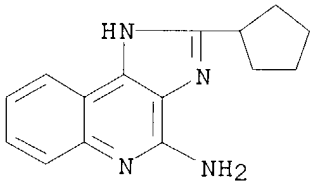
CN 1H-Imidazo[4,5-c]quinolin-4-amine, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

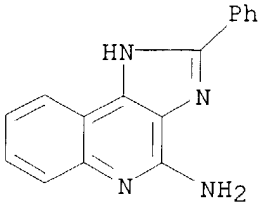
RN 132206-98-5 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-cyclopentyl- (9CI) (CA INDEX NAME)



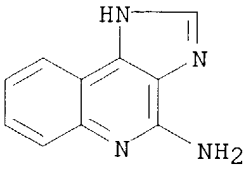
RN 132207-01-3 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 2-phenyl- (9CI) (CA INDEX NAME)



RN 132207-04-6 CAPLUS

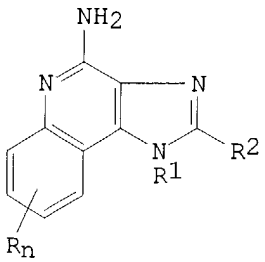
CN 1H-Imidazo[4,5-c]quinolin-4-amine (9CI) (CA INDEX NAME)



L7 ANSWER 47 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:552420 CAPLUS
DOCUMENT NUMBER: 113:152420
TITLE: Preparation of olefinic 1H-imidazo[4,5-c]quinolin-4-amines as antiviral agents
INVENTOR(S): Gerster, John F.; Knafla, Roy T.
PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4929624	A	19900529	US 1989-327693	19890323
US 5037986	A	19910806	US 1990-484871	19900226
CA 2012226	AA	19900923	CA 1990-2012226	19900315
CA 2012226	C	20000530		
AU 9051426	A1	19900927	AU 1990-51426	19900316
AU 632099	B2	19921217		
EP 389302	A1	19900926	EP 1990-303164	19900323
EP 389302	B1	19940831		
R: CH, DE, ES, FR, GB, IT, LI, SE				
JP 03027381	A2	19910205	JP 1990-75377	19900323
JP 2942584	B2	19990830		
ES 2060026	T3	19941116	ES 1990-303164	19900323

PRIORITY APPLN. INFO.: US 1989-327693 A2 19890323
OTHER SOURCE(S): CASREACT 113:152420; MARPAT 113:152420
GI



I

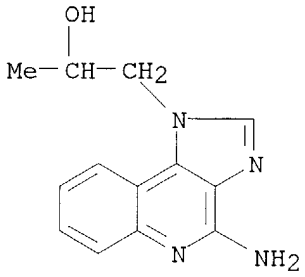
AB Title compds. I (R = C1-4 alkoxy, halo, C1-4 alkyl; n = 0-2; R1 = (substituted) C2-10 alkenyl, (substituted) C3-6 cycloalkyl; R2 = H, C1-8 alkyl, (substituted) PhCH2, etc.) useful as antiviral agents (no data) are prepared I are also useful for inducing interferon biosynthesis (no data). 4-Chloro-1-(2-methyl-2-propenyl)-1H-imidazo[4,5-c]quinoline (preparation given) was reacted with methanolic NH3 to give I (n = 0; R1 = H2C:CMeCH2; R2 =

H).

IT **129655-70-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
(preparation and reaction of, on preparation of imidazole quinolinamine virucides)

RN 129655-70-5 CAPLUS

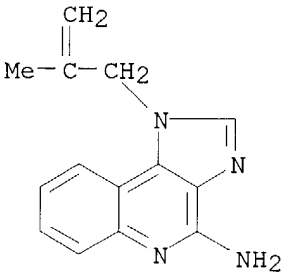
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α-methyl- (9CI) (CA INDEX NAME)



IT **129655-55-6P 129655-56-7P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of, as virucide and interferon biosynthesis inducer)

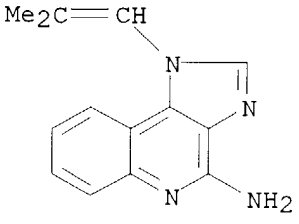
RN 129655-55-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 129655-56-7 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methyl-1-propenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 48 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:75403 CAPLUS

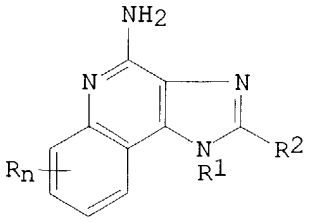
DOCUMENT NUMBER: 108:75403
TITLE: Preparation of 1H-imidazo[4,5-c]quinolin-4-amines as antiviral agents and interferon inducers
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Riker Laboratories, Inc., USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 553,158, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4689338	A	19870825	US 1985-798385	19851115
IL 84537	A1	19901223	IL 1984-84537	19841116
IL 73534	A1	19901223	IL 1984-73534	19841116
AT 84525	E	19930115	AT 1988-116137	19841116
NO 8900822	A	19850520	NO 1989-822	19890227
NO 165145	B	19900924		
NO 165145	C	19910102		
NO 8900823	A	19850520	NO 1989-823	19890227
NO 165146	B	19900924		
NO 165146	C	19910102		
NO 8900824	A	19850520	NO 1989-824	19890227
NO 165147	B	19900924		
NO 165147	C	19910102		
NO 8900825	A	19850520	NO 1989-825	19890227
NO 169437	B	19920316		
NO 169437	C	19920624		
NO 8900826	A	19850520	NO 1989-826	19890227
NO 168705	B	19911216		
NO 168705	C	19920325		

PRIORITY APPLN. INFO.: US 1983-553158 19831118
US 1983-553157 19831118
NO 1984-4565 19841115
EP 1988-116137 19841116
IL 1984-73534 19841116

OTHER SOURCE(S): CASREACT 108:75403

GI



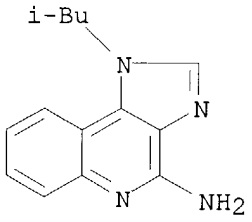
I

AB The title compds. [I; R = C1-4 alkyl, C1-4 alkoxy, halo; R1 = C1-10 alkyl, R3OZ, (un)substituted Ph, PhCH2, PhCH2CH2; R2 = H, C1-8 alkyl, (un)substituted Ph, PhCH2, PhCH2CH2; R3 = H, OH, C2-4 alkanoyl, Bz; Z = C1-6 alkylene; n = 1, 2] were prepared as antiviral agents, especially against herpes simplex types 1 and 2, and as an interferon inducer.

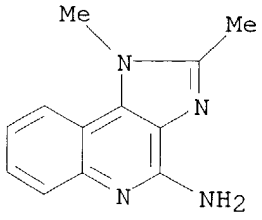
1-Isobutyl-1H-imidazo[4,5-c]quinoline (preparation given) was oxidized with H2O2 to give the 5-oxide which was chlorinated with POCl3 and treated with 50% aqueous NaOH to give 4-chloro-1-isobutyl-1H-imidazo[4,5-c]quinoline. The latter was heated at 150° in a bomb with concentrated NH4OH to give I (R1 = Me2CHCH2, R = R2 = H) (II). In female guinea pigs 5 mg II/kg intravaginally increased blood interferon activity to 31,250/mL, compared to 100-1000/mL for untreated animals. A topical antiviral cream was prepared containing II 1, Me paraben 0.2, Pr paraben 0.02, Avicel CL-611 microcryst. cellulose 5, and H2O 93.78%.

IT **99011-02-6P 99011-03-7P 99011-04-8P**
99011-05-9P 99011-06-0P 99011-07-1P
99011-08-2P 99011-09-3P 99011-10-6P
99011-11-7P 99011-12-8P 99011-13-9P
99011-14-0P 99011-15-1P 99011-16-2P
99011-17-3P 99011-18-4P 99011-19-5P
99011-20-8P 99011-21-9P 99011-22-0P
99011-28-6P 99011-65-1P 99011-66-2P
99011-67-3P 99011-68-4P 99011-69-5P
99011-70-8P 99011-71-9P 99011-72-0P
99011-73-1P 99011-74-2P 99011-75-3P
99011-76-4P 99011-77-5P 99011-78-6P
99011-79-7P 99011-80-0P 99011-81-1P
99011-82-2P
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of, as virucide and immunomodulator)

RN 99011-02-6 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

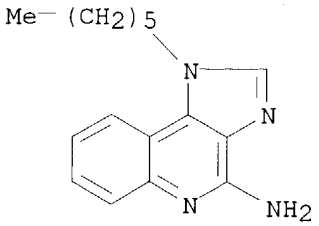


RN 99011-03-7 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1,2-dimethyl- (9CI) (CA INDEX NAME)



RN 99011-04-8 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1,8-dimethyl- (9CI) (CA INDEX NAME)

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-hexyl- (9CI) (CA INDEX NAME)



RN 99011-70-8 CAPLUS
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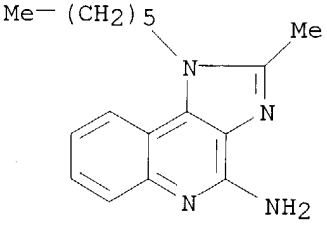
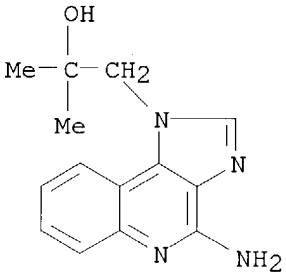


RN 112668-45-8 CAPLUS
CN 1H-Imidazo[4,5-c]quinoline-1-ethanol, 4-amino-α,α-dimethyl- (9CI) (CA INDEX NAME)



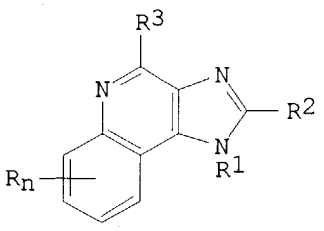
L7 ANSWER 49 OF 49 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:596090 CAPLUS
DOCUMENT NUMBER: 103:196090
TITLE: 1H-Imidazo[4,5-c]quinolines and 1H-imidazo[4,5-c]quinoline-4-amines
INVENTOR(S): Gerster, John F.
PATENT ASSIGNEE(S): Riker Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

IL 1984-73534 19841116
US 1985-785773 19851009

OTHER SOURCE(S): CASREACT 103:196090

GI



I

AB Bronchospasmolytic and virucidal (no data) title compds. [I; R = alkyl, alkoxy; R1 = H, alkyl, hydroxyalkyl, (un)substituted Ph, PhCH2, PhCH2CH2, PhCHMe; R2 = H, alkyl, hydroxyalkyl, aminoalkyl, hydroxyalkyl, CF3, alkylthio, PhCH2S, SH; R3 = H, alkyl, alkoxy, alkylthio, OH, PhS, morpholino; n = 0-2] were prepared Thus, 4-chloro-3-nitroquinoline was aminolyzed with Me2CHCH2NH2 to give 4-(isobutylamino)-3-nitroquinoline. This was hydrogenated to give the diamine which was cyclocondensed with HC(OEt)3 and HCO2H to give I (R = R2 = R3 = H, R1 = Me2CHCH2). This was oxidized with H2O2 to give the imidazoquinoline 5-oxide which was refluxed with POCl3 to give I (R = R2 = H, R1 = Me2CHCH2, R3 = Cl). This was heated at 150° in an autoclave with NH4OH to give I (R = R2 = H, R1 = Me2CHCH2, R3 = NH2).

IT **99011-02-6P 99011-03-7P 99011-04-8P**
99011-05-9P 99011-06-0P 99011-07-1P
99011-08-2P 99011-09-3P 99011-10-6P
99011-11-7P 99011-12-8P 99011-13-9P
99011-14-0P 99011-15-1P 99011-16-2P
99011-17-3P 99011-18-4P 99011-19-5P
99011-20-8P 99011-21-9P 99011-22-0P
99011-28-6P 99011-65-1P 99011-66-2P
99011-67-3P 99011-68-4P 99011-69-5P
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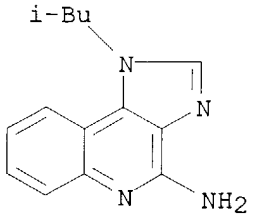
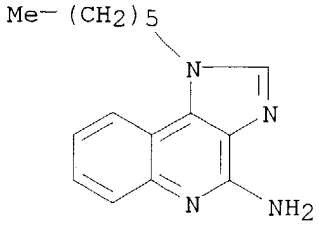
99011-76-4P 99011-77-5P 99011-78-6P
99011-79-7P 99011-80-0P 99011-81-1P
99011-82-2P
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of)

RN 99011-02-6 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

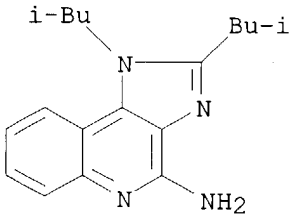


RN 99011-03-7 CAPLUS



● HCl

RN 99011-82-2 CAPLUS
CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1,2-bis(2-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

```
=> d his

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     FILE 'REGISTRY' ENTERED AT 09:21:55 ON 03 MAY 2004
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L2              50 S L1
L3            1778 S L1 FULL
L4             STRUCTURE UPLOADED
L5               0 S L4
L6               1 S L4 FULL

     FILE 'CAPLUS' ENTERED AT 09:24:51 ON 03 MAY 2004
L7              49 S L3/PREP
L8               1 S L6/RCT
L9               1 S L7 AND L8

=> d l1
L1 HAS NO ANSWERS
L1             STR
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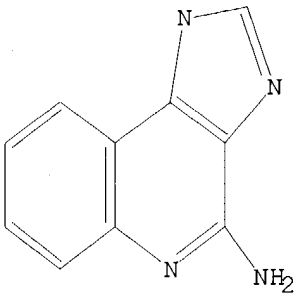

Structure attributes must be viewed using STN Express query preparation.

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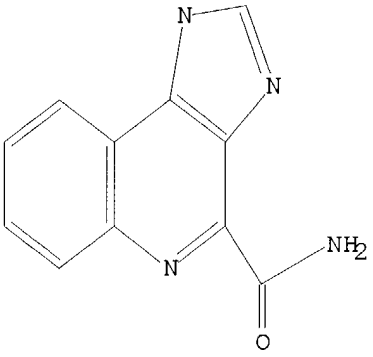

Structure attributes must be viewed using STN Express query preparation.

=>

PALM INTRANET

Day : Monday
Date: 5/3/2004
Time: 11:51:13

Inventor Name Search Result

Your Search was:

Last Name = MERLI
First Name = VALERIANO

Application#	Patent#	Status	Date Filed	Title	Inventor Name 10
60400738	Not Issued	159	08/02/2002	RACEMIZATION AND ENANTIOMER SEPARATION OF CLOPIDOGREL	MERLI, VALERIANO
60398592	Not Issued	159	07/26/2002	PREPARATION OF 1H-IMIDAZO [4,5-C] QUINOLIN-4-AMINES VIA NOVEL 1H-IMIDAZO [4,5-C] QUINOLIN-4-CYANO AND 1H-IMIDAZO [4,5-C] QUINOLIN-4-CARBOXAMIDE INTERMEDIATES	MERLI, VALERIANO
60397607	Not Issued	159	07/23/2002	PREPARATION OF 1H-IMIDAZO [4,5-C] QUINOLIN-4-AMINES VIA NOVEL 1H-IMIDAZO [4,5-C] QUINOLIN-4-PHTHALIMIDE INTERMEDIATES	MERLI, VALERIANO
10628927	Not Issued	030	07/28/2003	PREPARATION OF 1H-IMIDAZO [4,5-C] QUINOLIN-4-AMINES VIA NOVEL 1H-IMIDAZO [4,5-C] QUINOLIN-4-CYANO AND 1H-IMIDAZO [4,5-C] QUINOLIN-4-CARBOXAMIDE INTERMEDIATES	MERLI, VALERIANO
10626036	Not Issued	030	07/23/2003	PREPARATION OF 1H-IMIDAZO [4,5-C] QUINOLIN-4-AMINES VIA 1H-IMIDAZO [4,5-C] QUINOLIN-4-PHTHALIMIDE INTERMEDIATES	MERLI, VALERIANO
09335811	6166217	150	06/18/1999	PROCESS FOR THE PRODUCTION OF ALKOXYCARBONYLDIPEPTIDES INTERMEDIATES IN THE SYNTHESIS OF THE LISINOPRIL	MERLI , VALERIANO
09237071	6031112	150	01/25/1999	PROCESS FOR THE PRODUCTION	MERLI ,

				OF ALKOXYCARBONYL-DIPEPTIDES INTERMEDIATES IN THE SYNTHESIS OF THE LISINOPRIL	VALERIANO
08397955	5550287	150	03/03/1995	PROCESS FOR THE PREPARATION AND PURIFICATION OF IODINATED CONTRAST AGENTS	MERLI , VALERIANO
07557808	5097059	150	07/26/1990	RESOLUTION PROCESS OF INTERMEDIATES USEFUL FOR THE PREPARATION OF DILTIAZEM	MERLI , VALERIANO
07384438	4939295	250	07/25/1989	PROCESS FOR THE PREPARATION OF INTERMEDIATES FOR THE SYNTHESIS OF DILTIAZEM	MERLI , VALERIANO

Inventor Search Completed: No Records to Display.

Search Another: Inventor

Last Name Merli **First Name** Valeriano

Search

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Back to PALM | ASSIGNMENT | OASIS | Home page